618

Access DB# 93219

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: SUDHAKER PATEL Examiner # : 77018 Date: 5/8/03
Art Unit: 1624 Phone Number 30 84779 Serial Number: 10049274
Mail Box and Bldg/Room Location: CM1 4E17 Results Format Preferred (circle): PAPER DISK E-MAIL
4E12

If more than one search is submitted, please prioritize searches in order of need.

****

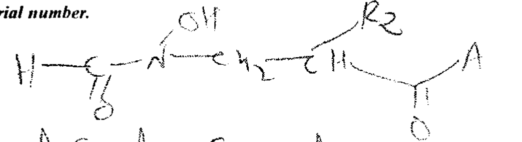
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: ANTIBACTERIAL AGENTS

Inventors (please provide full names): MICHAEL GEORGE HUNTER et al

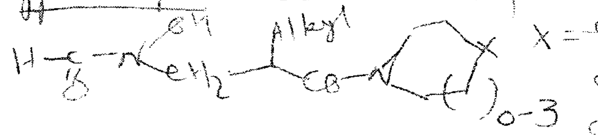
Earliest Priority Filing Date: 8/16/1999 R_2 ≡ Alkyl/cycloalkyl or $-(CH_2)_{1-6}$-Aryl

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**



A = $-NH_2$ or $-N<$ or $-NH-CH-CO-N<$ open / closed

Typical cpds see claim 1 α-amino acid



X = CH_2 or $-\overset{O}{C}-$phenyl or $-NH$ or $-N-CH_2-$phenyl

Need info @ cpds, compositions & method of treatment for bacterial infections

Need all things help to the field in cpds.

copy of claims enclosed THANKS 1624

****

STAFF USE ONLY	**Type of Search**	**Vendors and cost where applicable**
Searcher: Point of Contact: Alexandra Waclawiw	NA Sequence (#)	STN $ 343.00
Searcher Phone #: Technical Info. Specialist	AA Sequence (#)	Dialog
Searcher Location: CM1 6A02 Tel: 308-4491	Structure (#) 2	Questel/Orbit
Date Searcher Picked Up: 5-12-03	Bibliographic	Dr.Link
Date Completed: 5-12-03	Litigation	Lexis/Nexis
Searcher Prep & Review Time: 20	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time: 53	Other	Other (specify)

PTO-1590 (8-01)

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     (FILE 'HCAPLUS' ENTERED AT 08:17:30 ON 12 MAY 2003)
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     FILE 'REGISTRY' ENTERED AT 08:20:08 ON 12 MAY 2003
               ACT PATEL2/A
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L1              STR
L2  (        541) SEA FILE=REGISTRY SSS FUL L1
L3              STR
L4           169 SEA FILE=REGISTRY SUB=L2 SSS FUL L3
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L5           169 S L4 AND (CAPLUS OR CA)/LC
L6           126 S L4 AND USPATFULL/LC
L7             0 S L6 NOT L5

     FILE 'HCAPLUS' ENTERED AT 08:20:41 ON 12 MAY 2003
L8            20 S L4

     FILE 'HCAOLD' ENTERED AT 08:20:46 ON 12 MAY 2003
L9             0 S L4
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FILE 'REGISTRY' ENTERED AT 08:21:50 ON 12 MAY 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   11 MAY 2003  HIGHEST RN 514167-89-6
DICTIONARY FILE UPDATES:  11 MAY 2003  HIGHEST RN 514167-89-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d questat l4
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     8  13        9   7
     O  OH       G1  O          Ak @10    Ak--Cy
     ||  \        |  ||                   @11 12
H--  C---N--CH2--CH--C
1    2   3   4    5   6\
                        N
                        14


VAR G1=10/11
NODE ATTRIBUTES:
NSPEC   IS RC     AT  14
CONNECT IS E1  RC AT  10
CONNECT IS X2  RC AT  11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
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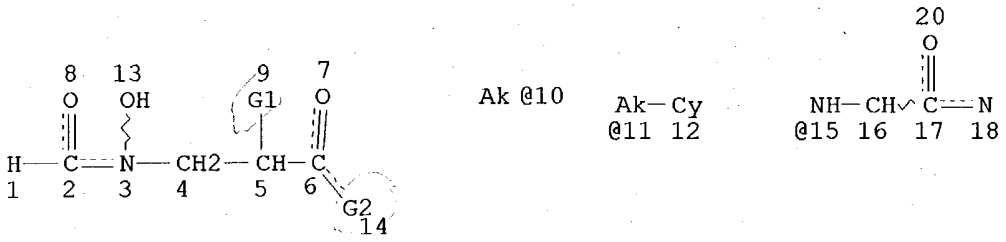

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NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
CONNECT IS X2 RC AT 11
DEFAULT MLEVEL IS ATOM
GGCAT IS SAT AT 19
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L4 169 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 541 ITERATIONS 169 ANSWERS
SEARCH TIME: 00.00.01

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(FILE 'REGISTRY' ENTERED AT 08:20:08 ON 12 MAY 2003)

L5 169 S L4 AND (CAPLUS OR CA)/LC
L6 126 S L4 AND USPATFULL/LC
L7 0 S L6 NOT L5

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FILE COVERS 1907 - 12 May 2003 VOL 138 ISS 20
FILE LAST UPDATED: 11 May 2003 (20030511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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L2 (541) SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 169 SEA FILE=REGISTRY SUB=L2 SSS FUL L3
L8 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

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L8 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:23020 HCAPLUS
DOCUMENT NUMBER: 138:85604
TITLE: A crystallized catalytic domain of human matrix metalloproteinase 9 (MMP9) and the use of its three dimensional structure to design MMP9 modulators
INVENTOR(S): Jepson, Holly; Minshull, Claire; Pauptit, Richard; Rowsell, Sian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

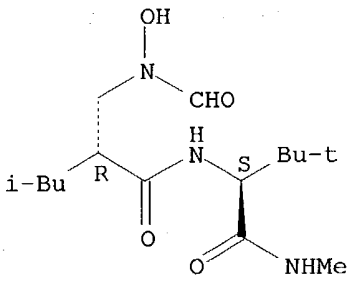
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002729	A1	20030109	WO 2002-SE1266	20020624

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2001-2298 A 20010627
AB The invention provides a crystal structure of a polypeptide corresponding to the catalytic domain of a human matrix metalloproteinase protein, MMP9, in complex with a reversible hydroxamate inhibitor. The active site binding region of the MMP9 protein is defined by its amino acid residues and their at. coordinates. This three dimensional structure may be used to select or design chem. modulators of MMP9, particularly MMP9 inhibitors. These modulators may be used to treat a metalloproteinase

mediated disease or condition.
IC ICM C12N009-50
ICS C12Q001-37; A61K038-48
CC 7-5 (Enzymes)
Section cross-reference(s): 1, 75
IT 146480-36-6DP, Matrix metalloproteinase 9, complexes with hydroxamate inhibitor **481701-43-3DP**, complexes with MMP9 catalytic domain
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystd. catalytic domain of wild-type and mutant human matrix metalloproteinase 9 (MMP9) and use of its three dimensional structure to design MMP9 modulators)
IT **481701-43-3DP**, complexes with MMP9 catalytic domain
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystd. catalytic domain of wild-type and mutant human matrix metalloproteinase 9 (MMP9) and use of its three dimensional structure to design MMP9 modulators)
RN 481701-43-3 HCAPLUS
CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-(2-methylpropyl)-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:977805 HCAPLUS
DOCUMENT NUMBER: 138:55864
TITLE: Preparation of N-hydroxyamide-substituted pyrrolidine derivatives as inhibitors of peptidyl deformylase
INVENTOR(S): Patel, Dinesh V.; Yuan, Zhengyu; Jain, Rakesh K.; Lewis, Jason G.; Jacobs, Jeffrey
PATENT ASSIGNEE(S): Versicor, Inc., USA; Novartis AG
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002102791 A1 20021227 WO 2002-EP6586 20020614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
US 2003069223 A1 20030410 US 2002-171705 20020614
PRIORITY APPLN. INFO.: US 2001-298418P P 20010615
OTHER SOURCE(S): MARPAT 138:55864
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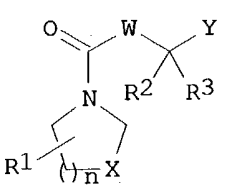

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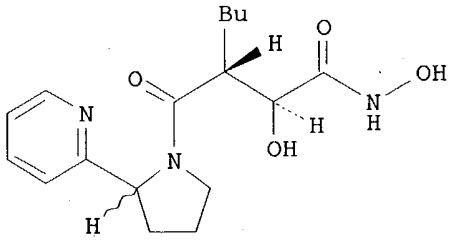


II

AB Title compds. I [R1 = (hetero)aryl; R2 = H, halo, OH; R3 = H, halo, alkyl, heteroalkyl, etc.; X = CH2, S; W = amino, alkyl; Y = COOH, SH, N(OH)CHO, CONHOH, etc.; n = 0-3; with provisions] are prepd. For instance, (2R)-2-((5S)-2,2-dimethyl-4-oxo-1,3-dioxolan-5-yl)hexanoic acid (prepn. given) was coupled to 2-(pyrrolidin-2-yl)pyridine to give diastereomers II. IC50 of selected example compds. detd. for the zinc-contg. peptidyl deformylase (PDF) ranges from about 0.585 .mu.M to 0.004 .mu.M and for nickel-contg. PDF ranges from about 0.06 .mu.M to about 0.0001 .mu.M. I are useful for preventing contamination of a cell culture medium.

IC ICM C07D401-14
ICS C07D207-08; A61P031-04
CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 34, 63

IT 479067-13-5P 479067-14-6P 479067-15-7P, (2S,3R)-2-Hydroxy-3-[[(2R)-2-[pyridin-3-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-16-8P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-[pyridin-3-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-17-9P, (2S,3R)-2-Hydroxy-3-[[(2R)-2-[pyridin-4-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-18-0P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-[pyridin-4-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-19-1P 479067-20-4P 479067-21-5P 479067-22-6P 479067-23-7P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-24-8P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(5-methyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-25-9P, (2R,3R)-2-Fluoro-3-[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-26-0P, (2S,3R)-2-Fluoro-3-[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide **479067-27-1P**, N-Hydroxy-N-[(2R)-2-[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide 479067-28-2P, N-Hydroxy-N-[(3R)-3-[[(2R)-2-

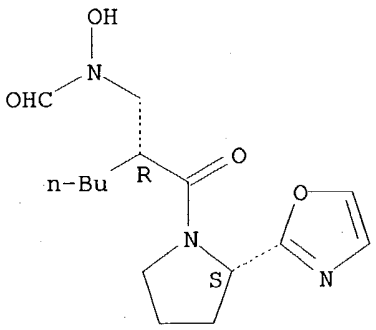
(pyridin-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide 479067-29-3P, N-Hydroxy-N-[(3R)-3-[[(2S)-2-(pyridin-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide 479067-30-6P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(4,5-dimethylthiazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-31-7P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(4-phenylthiazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-32-8P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(4-methylthiazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-33-9P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(benzimidazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-34-0P 479067-35-1P 479067-36-2P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(5-tert-butyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-37-3P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(5-phenyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-38-4P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(5-isobutyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-39-5P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(4,5-dimethyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-40-8P, (2S,3R)-2-Hydroxy-3-[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid 479067-41-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-hydroxyamide-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

IT **479067-27-1P**, N-Hydroxy-N-[(2R)-2-[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-hydroxyamide-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

RN 479067-27-1 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-2-(2-oxazolyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:977804 HCAPLUS
DOCUMENT NUMBER: 138:55863

TITLE: Preparation of N-formyl-N-hydroxylamino-substituted pyrrolidine derivatives as inhibitors of peptidyl deformylase

INVENTOR(S): Patel, Dinesh V.; Yuan, Zhengyu; Jain, Rakesh K.; Garcia Alvarez, Salvador; Jacobs, Jeffrey

PATENT ASSIGNEE(S): Versicor, Inc., USA; Novartis AG

SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102790	A1	20021227	WO 2002-EP6604	20020614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2003045479	A1	20030306	US 2002-171706	20020614

PRIORITY APPLN. INFO.: US 2001-298419P P 20010615
US 2002-360313P P 20020227

OTHER SOURCE(S): MARPAT 138:55863

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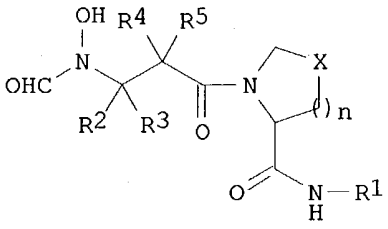

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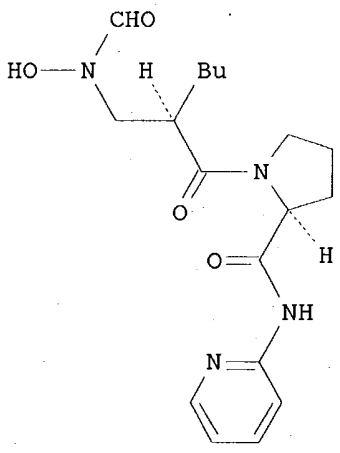


II

AB Title compds. I [X = CH2, S, CHOH, CH-alkoxy, CHSH, etc.; R1 = (hetero)aryl; R2-5 = H, alkyl, etc.; n = 0-3 provided that when n = 0, X = CH2] are prepd. For instance, (S)-2-(chlorocarbonyl)pyrrolidine-1-carboxylic acid benzyl ester is used to acylate 2-aminopyridine and the resulting amide deprotected and coupled to (2R)-2-[(benzyloxyformylamino)methyl]hexanoic acid (prepn. given; dioxane, HATU,

i-Pr2NEt) to give II. IC50 of selected examples of I against MMP-7 ranges from >10 pM to >100 pM, whereas the IC50 of these same compds. against zinc-contg. peptidyl deformylase (PDF) ranges from about 0.005 pM to 5 pM, and against nickel-contg. PDF ranges from about 0.001 pM to about 0.3 pM. I are useful for preventing contamination of a cell culture medium.

IC ICM C07D401-12
ICS A61K031-4402; A61P031-04

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 34, 63

IT **478913-80-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

IT **478912-45-7P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-48-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methylpyridin-2-yl)amide **478912-50-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-methylpyridin-2-yl)amide **478912-52-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478912-56-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478912-59-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478912-63-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-ethylpyridin-2-yl)amide **478912-66-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridin-2-yl)amide **478912-69-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(6-fluoropyridin-2-yl)amide **478912-76-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(4,6-dimethyl-1-oxopyridin-2-yl)amide **478912-80-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(4-methyl-1-oxopyridin-2-yl)amide **478912-85-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] azetidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-92-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethylpyridin-2-yl)amide **478912-97-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-ethylpyridin-2-yl)amide **478913-05-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxypyridin-2-yl)amide **478913-12-1P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(isoquinolin-1-yl)amide **478913-16-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide **478913-21-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478913-24-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478913-27-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478913-30-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-37-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]

pyrrolidine-2-carboxylic acid N-(4-phenylpyridin-2-yl)amide **478913-41-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(4-phenyl-1-oxopyridin-2-yl)amide **478913-45-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(4-trifluoromethylpyridin-2-yl)amide **478913-48-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(4-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-51-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(8-hydroxyquinolin-2-yl)amide **478913-55-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidin e-2-carboxylic acid N-(3-methoxy-6-methylpyridin-2-yl)amide **478913-59-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide **478913-64-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide 478913-68-7P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrol idine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide **478913-69-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(6-hydroxypyridin-2-yl)amide 478913-70-1P, (S)-Pyrrolidine-2-carboxylic acid N-(6-benzyloxypyridin-2-yl)amide **478913-75-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxy-1-oxopyridin-2-yl)amide **478913-83-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(2,2-difluorobenzo[1,3]dioxol-5-yl)amide **478913-87-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(3-phenoxyphenyl)amide **478913-91-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl] pyrrolidine-2-carboxylic acid N-(5-fluoro-1-oxopyridin-2-yl)amide **478913-94-9P 478913-96-1P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478913-99-4P**, (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-01-1P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano yl]-4-hydroxypyrrolidine-2-carboxylic acid N-(4-ethylpyridine-2-yl)amide **478914-03-3P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano yl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridine-2-yl)amide **478914-05-5P**, (2S,4S)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-08-8P**, (2S,4R)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidin e-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-10-2P** **478914-12-4P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano yl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478914-17-9P**, (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano yl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **479067-88-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

IT 13726-69-7P, (2S,4R)-4-Hydroxy-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid 83623-93-2P, (2S,4S)-4-Methoxy-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid 83624-01-5P, (2S,4R)-4-Methoxy-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid 102195-79-9P, (2S,4S)-4-Hydroxy-2-(methoxycarbonyl)pyrrolidine-1-

carboxylic acid tert-butyl ester 102195-80-2P, (2S)-4-Oxo-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid methyl ester 153290-91-6P, (S)-Pyrrolidine-2-carboxylic acid N-(pyridin-2-yl)amide 215918-38-0P, (2S,4S)-4-Methoxy-2-(methoxycarbonyl)pyrrolidine-1-carboxylic acid tert-butyl ester 216682-25-6P 301685-23-4P, (2R)-2-[(Benzyloxyformylamino)methyl]hexanoic acid 426844-31-7P, (2S,4R)-4-Methoxy-2-(methoxycarbonyl)pyrrolidine-1-carboxylic acid tert-butyl ester 478912-40-2P, (S)-4-Benzyl-3-(2-(n-butyl)acryloyl)oxazolidin-2-one 478912-42-4P 478912-43-5P, (4S)-4-Benzyl-3-[(2R)-2-((benzyloxyamino)methyl)hexanoyl]oxazolidin-2-one 478912-44-6P 478912-46-8P, (2S)-2-((Pyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-47-9P 478912-54-8P, (2S)-2-((4-Methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-55-9P 478912-57-1P, (S)-Pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide 478912-58-2P 478912-61-7P, (S)-2-((5-Methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-62-8P 478912-65-1P 478912-67-3P 478912-68-4P 478912-71-9P, (6-Fluoropyridin-2-yl)(4-methoxybenzyl)amine 478912-72-0P, (2S)-2-((6-Fluoropyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-74-2P 478912-79-7P 478912-83-3P 478912-88-8P, (S)-2-((Pyridin-2-yl)carbamoyl)azetidine-1-carboxylic acid tert-butyl ester 478912-90-2P 478912-95-7P 478912-99-1P, (S)-Pyrrolidine-2-carboxylic acid N-(4-ethylpyridin-2-yl)amide 478913-01-8P, (2S)-2-((4-Ethylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-03-0P 478913-09-6P, (2S)-2-((3-Benzyloxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-10-9P 478913-11-0P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-benzyloxypyridin-2-yl)amide 478913-14-3P 478913-15-4P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(isoquinolin-1-yl)amide 478913-17-6P, (S)-Pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide 478913-18-7P, (2S)-2-((Quinolin-3-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-19-8P 478913-20-1P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide 478913-23-4P 478913-25-6P, (S)-Azetidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478913-26-7P 478913-28-9P, (S)-Azetidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide 478913-29-0P, (S)-2-(((5-Fluoropyridin-2-yl)amino)carbonyl)azetidine hydrochloride 478913-31-4P, (S)-Pyrrolidine-2-carboxylic acid N-(5-trifluoromethyl-1-oxopyridin-2-yl)amide 478913-32-5P, (S)-2-((5-Trifluoromethyl-1-oxopyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-33-6P 478913-34-7P, (S)-Pyrrolidine-2-carboxylic acid N-(4-ethyl-1-oxopyridin-2-yl)amide 478913-35-8P, (S)-2-((4-Ethyl-1-oxopyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-36-9P 478913-38-1P, (S)-Pyrrolidine-2-carboxylic acid N-(4-phenylpyridin-2-yl)amide 478913-39-2P, (S)-2-((4-Phenylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-40-5P 478913-42-7P, (S)-Pyrrolidine-2-carboxylic acid N-(4-phenyl-1-oxopyridin-2-yl)amide 478913-43-8P, (S)-2-((4-Phenyl-1-oxopyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-44-9P 478913-46-1P, (S)-Pyrrolidine-2-carboxylic acid N-(4-trifluoromethylpyridin-2-yl)amide 478913-47-2P 478913-49-4P, (S)-Pyrrolidine-2-carboxylic acid N-(4-trifluoromethyl-1-oxopyridin-2-yl)amide 478913-50-7P 478913-52-9P, (S)-Pyrrolidine-2-carboxylic acid N-(8-benzyloxyquinolin-2-yl)amide 478913-53-0P, (S)-2-((8-Benzyloxyquinolin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-54-1P 478913-56-3P, (S)-Pyrrolidine-2-carboxylic acid

N-(3-methoxy-6-methylpyridin-2-yl)amide 478913-58-5P 478913-60-9P, (S)-Pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide 478913-61-0P, (S)-2-((4-Methoxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-62-1P 478913-63-2P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide 478913-65-4P, (S)-Pyrrolidine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide 478913-66-5P, (S)-2-((3-Methoxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-67-6P 478913-71-2P, (S)-2-((6-Hydroxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-72-3P, (S)-2-((6-Benzyloxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-73-4P 478913-74-5P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-benzyloxypyridin-2-yl)amide 478913-76-7P, (S)-Pyrrolidine-2-carboxylic acid N-(3-benzyloxy-1-oxopyridin-2-yl)amide 478913-77-8P, (S)-2-((3-Benzyloxy-1-oxopyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-78-9P 478913-79-0P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-benzyloxy-1-oxopyridin-2-yl)amide 478913-81-4P 478913-82-5P 478913-84-7P, (S)-Pyrrolidine-2-carboxylic acid N-(2,2-difluorobenzo[1,3]dioxol-5-yl)amide 478913-85-8P, (S)-2-((2,2-Difluorobenzo[1,3]dioxol-5-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-86-9P 478913-88-1P, (S)-Pyrrolidine-2-carboxylic acid N-(3-phenoxyphenyl)amide 478913-89-2P, (S)-2-((3-Phenoxyphenyl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-90-5P 478913-92-7P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide 478913-93-8P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoro-1-oxopyridin-2-yl)amide 478913-97-2P, (2S,4R)-4-(Benzyloxy)pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478913-98-3P**, (2S,4R)-4-(Benzyloxy)-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide 478914-00-0P, (2S,4S)-4-(Benzoyloxy)pyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-02-2P, (2S,4R)-4-Benzyloxypyrrolidine-2-carboxylic acid N-(4-ethylpyridine-2-yl)amide 478914-04-4P, (2S,4R)-4-Benzyloxypyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridine-2-yl)amide 478914-06-6P, (2S,4S)-4-Fluoropyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-07-7P, (2S,4R)-4-Hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide 478914-09-9P, (2S,4R)-4-Fluoropyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-11-3P, (2S)-4,4-Difluoropyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-13-5P, (2S,4R)-4-Methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-14-6P, (2S,4R)-4-Methoxy-2-((5-methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid tert-butyl ester 478914-15-7P 478914-16-8P, (2S,4R)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-18-0P, (2S,4S)-4-Methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-19-1P, (2S,4S)-4-Methoxy-2-((5-methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid tert-butyl ester 478914-20-4P 478914-21-5P, (2S,4S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as

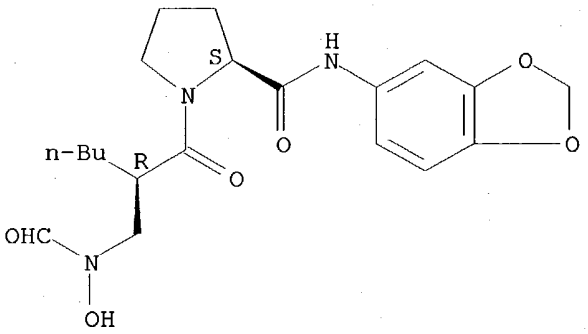
inhibitors of peptidyl deformylase)

IT **478913-80-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

RN 478913-80-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-1,3-benzodioxol-5-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **478912-45-7P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-48-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methylpyridin-2-yl)amide **478912-50-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-methylpyridin-2-yl)amide **478912-52-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478912-56-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478912-59-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478912-63-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-ethylpyridin-2-yl)amide **478912-66-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridin-2-yl)amide **478912-69-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-fluoropyridin-2-yl)amide **478912-76-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethyl-1-oxopyridin-2-yl)amide **478912-80-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methyl-1-oxopyridin-2-yl)amide **478912-85-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-92-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethylpyridin-2-yl)amide **478912-97-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-ethylpyridin-2-yl)amide **478913-05-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxypyridin-2-yl)amide **478913-12-1P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-

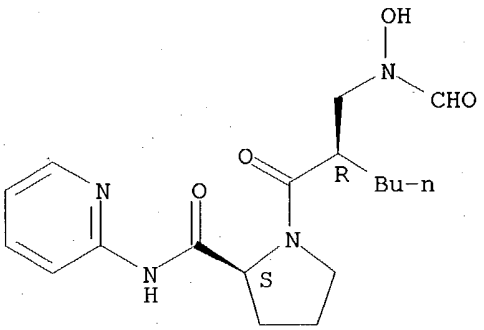
carboxylic acid N-(isoquinolin-1-yl)amide **478913-16-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide **478913-21-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478913-24-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478913-27-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478913-30-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-37-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-phenylpyridin-2-yl)amide **478913-41-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-phenyl-1-oxopyridin-2-yl)amide **478913-45-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-trifluoromethylpyridin-2-yl)amide **478913-48-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-51-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(8-hydroxyquinolin-2-yl)amide **478913-55-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methoxy-6-methylpyridin-2-yl)amide **478913-59-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide **478913-64-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide **478913-69-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-hydroxypyridin-2-yl)amide **478913-75-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxy-1-oxopyridin-2-yl)amide **478913-83-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(2,2-difluorobenzo[1,3]dioxol-5-yl)amide **478913-87-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-phenoxyphenyl)amide **478913-91-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoro-1-oxopyridin-2-yl)amide **478913-94-9P 478913-96-1P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478913-99-4P**, (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-01-1P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(4-ethylpyridine-2-yl)amide **478914-03-3P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridine-2-yl)amide **478914-05-5P**, (2S,4S)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-08-8P**, (2S,4R)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-10-2P 478914-12-4P**, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478914-17-9P**, (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **479067-88-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

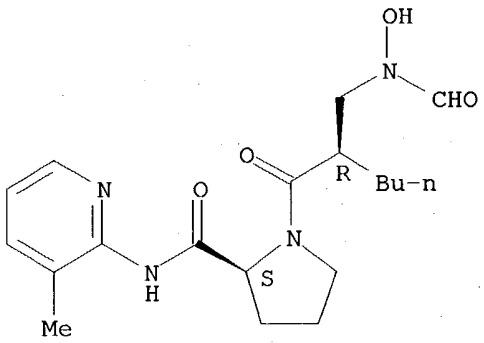
RN 478912-45-7 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



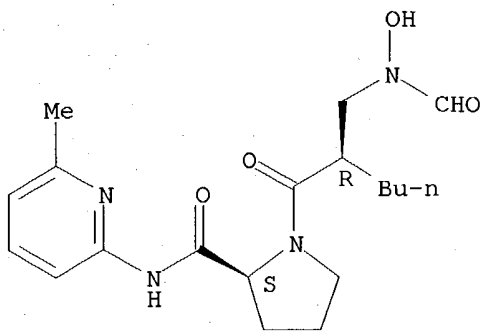
RN 478912-48-0 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



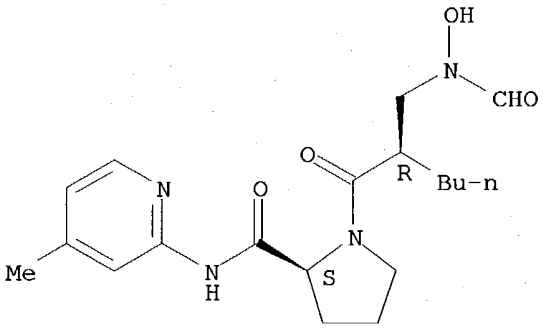
RN 478912-50-4 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



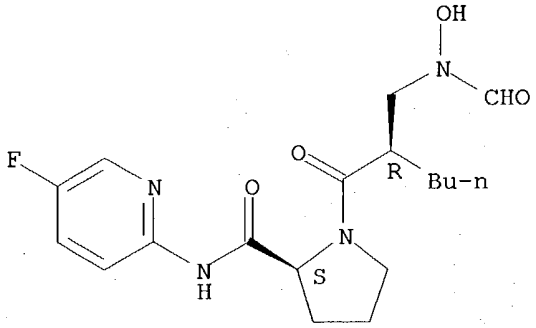
RN 478912-52-6 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



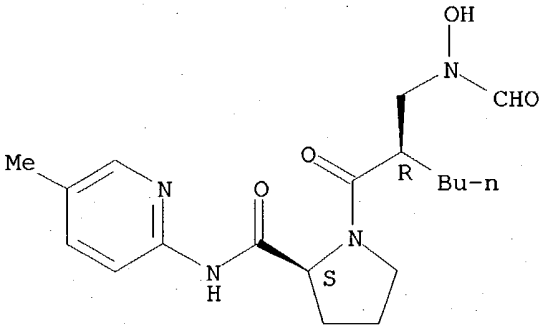
RN 478912-56-0 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-fluoro-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



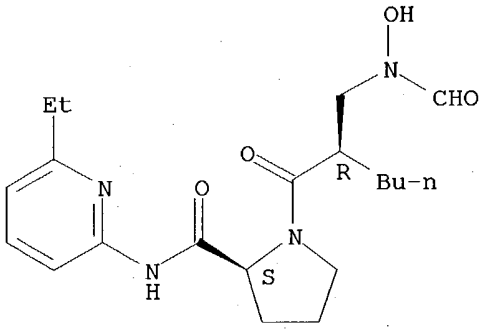
RN 478912-59-3 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



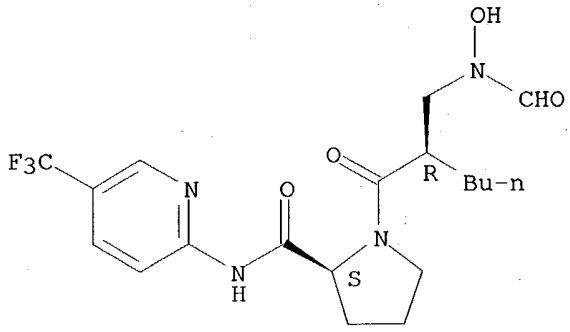
RN 478912-63-9 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(6-ethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478912-66-2 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

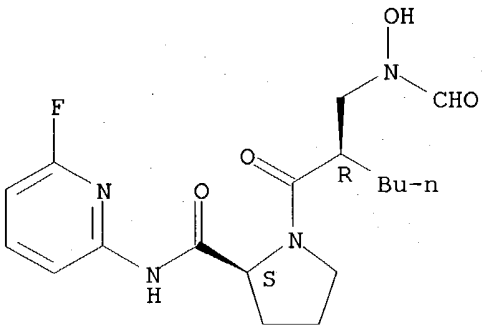
Absolute stereochemistry.



RN 478912-69-5 HCAPLUS

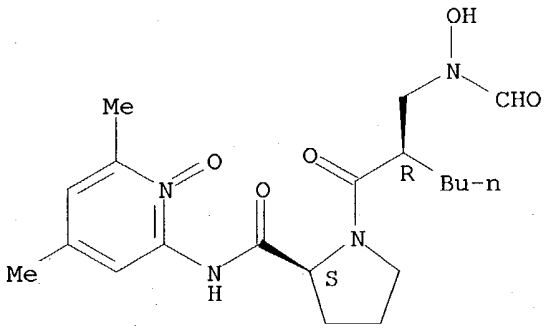
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(6-fluoro-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



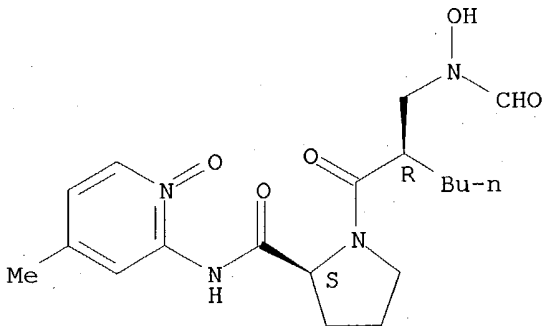
RN 478912-76-4 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4,6-dimethyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



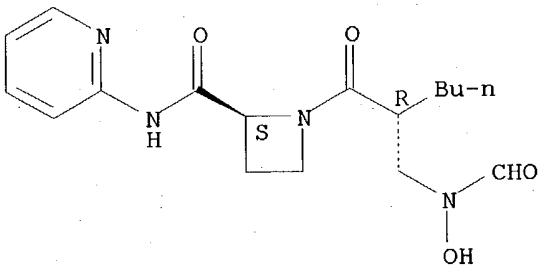
RN 478912-80-0 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-methyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



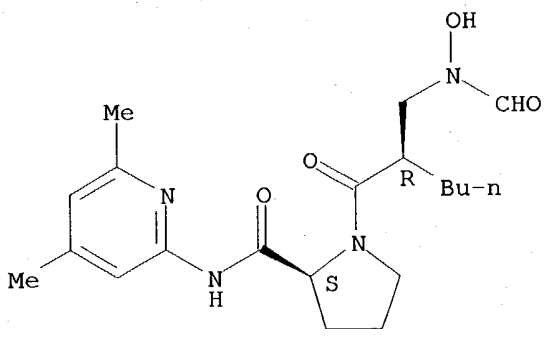
RN 478912-85-5 HCAPLUS
CN 2-Azetidinecarboxamide, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-N-2-pyridinyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



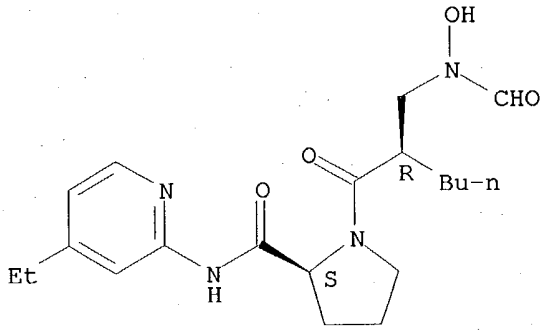
RN 478912-92-4 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4,6-dimethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



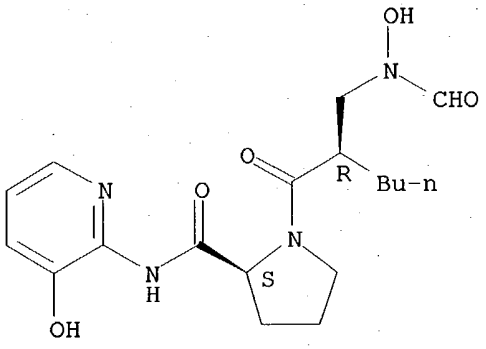
RN 478912-97-9 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-ethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



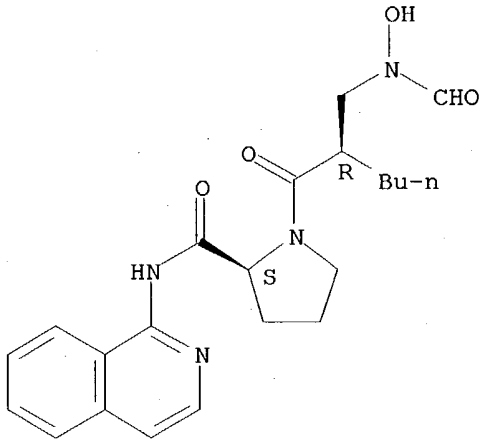
RN 478913-05-2 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-hydroxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



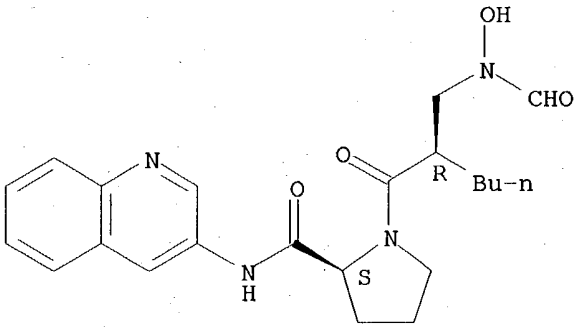
RN 478913-12-1 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-1-isoquinolinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



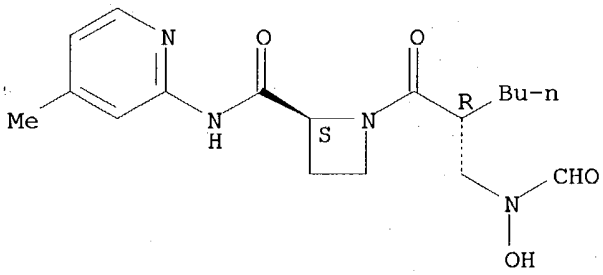
RN 478913-16-5 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



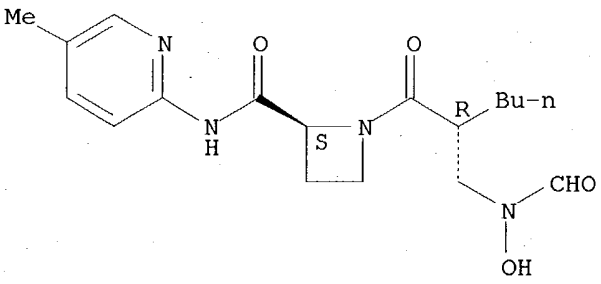
RN 478913-21-2 HCAPLUS
CN 2-Azetidinecarboxamide, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-N-(4-methyl-2-pyridinyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



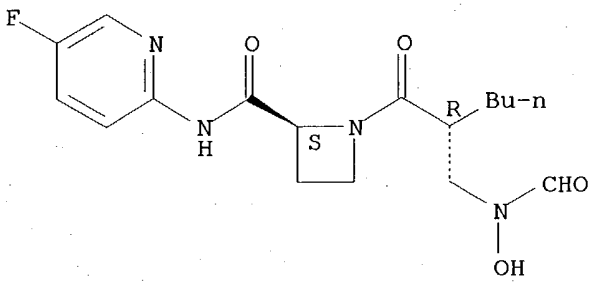
RN 478913-24-5 HCAPLUS
CN 2-Azetidinecarboxamide, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-N-(5-methyl-2-pyridinyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



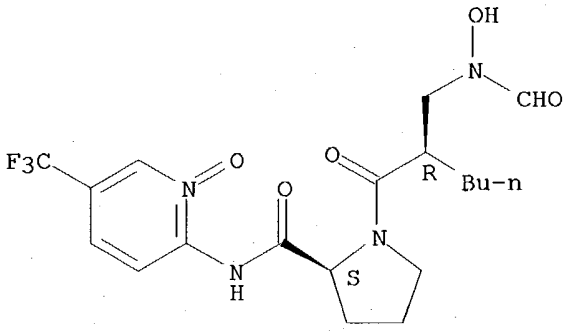
RN 478913-27-8 HCAPLUS
CN 2-Azetidinecarboxamide, N-(5-fluoro-2-pyridinyl)-1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



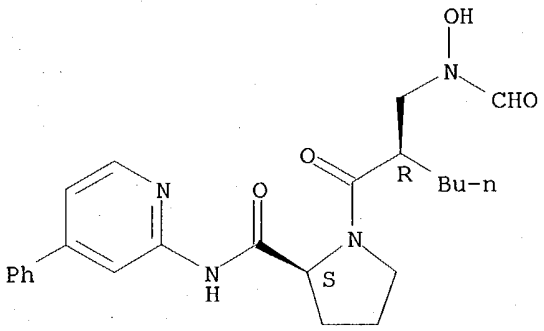
RN 478913-30-3 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[1-oxido-5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



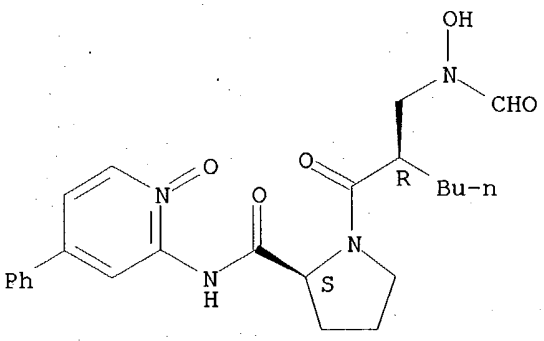
RN 478913-37-0 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-phenyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



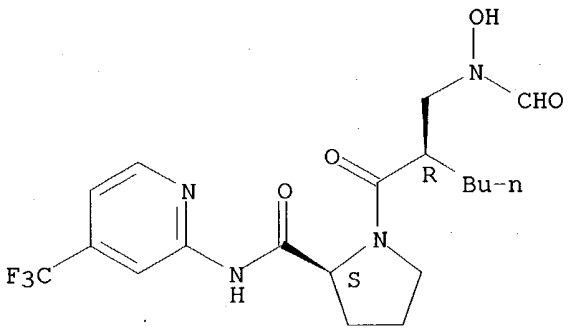
RN 478913-41-6 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(1-oxido-4-phenyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



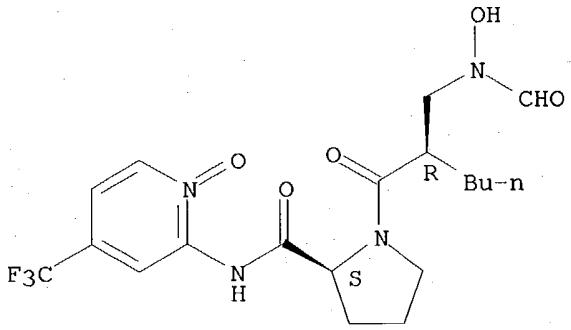
RN 478913-45-0 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[4-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



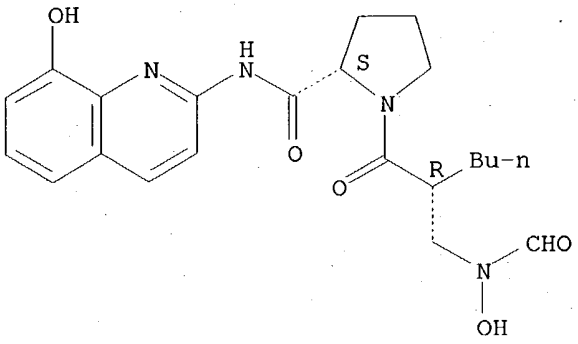
RN 478913-48-3 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[1-oxido-4-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



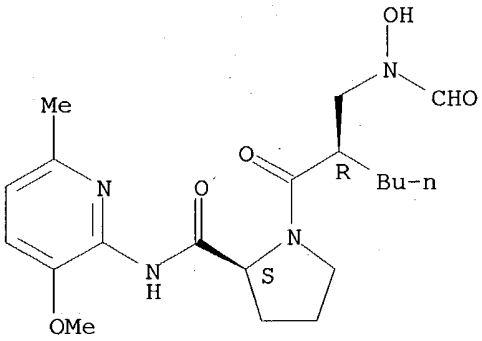
RN 478913-51-8 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(8-hydroxy-2-quinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



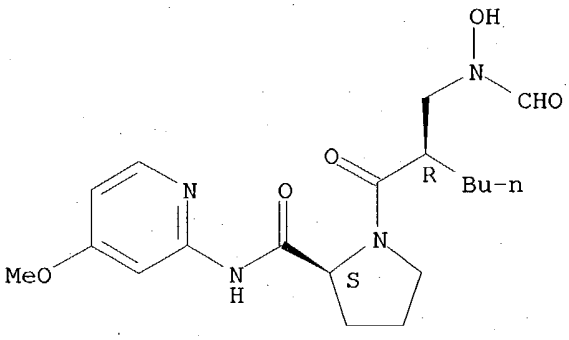
RN 478913-55-2 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-methoxy-6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478913-59-6 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

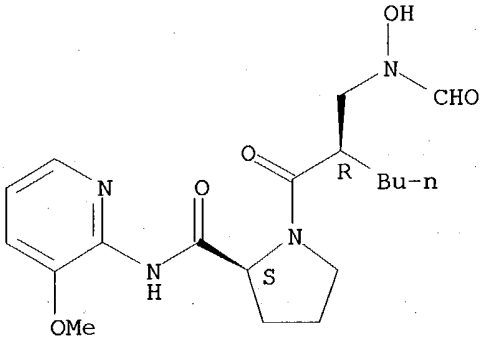
Absolute stereochemistry.



RN 478913-64-3 HCAPLUS

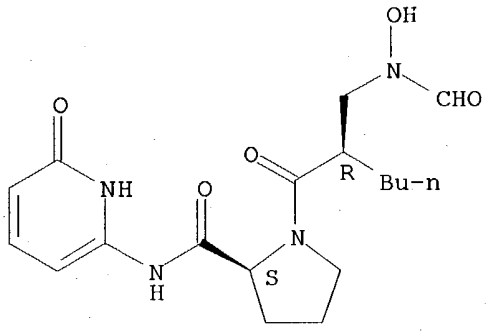
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



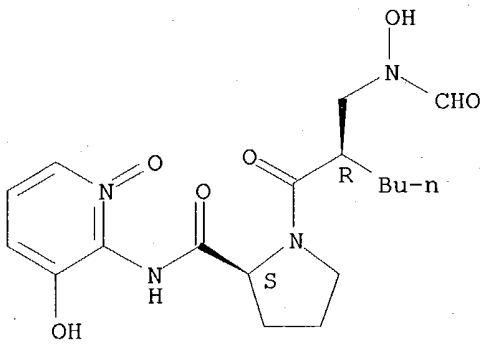
RN 478913-69-8 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(1,6-dihydro-6-oxo-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



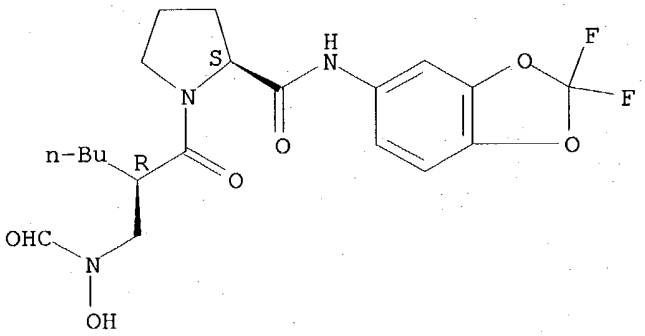
RN 478913-75-6 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-hydroxy-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



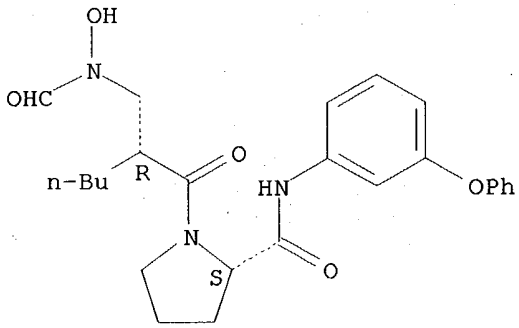
RN 478913-83-6 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



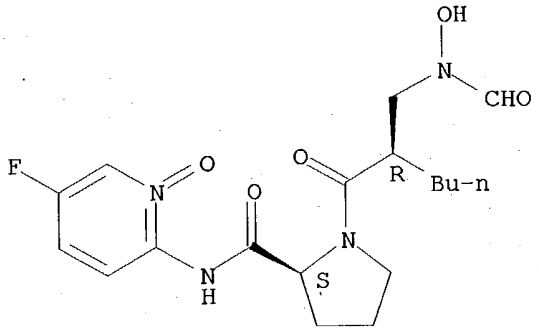
RN 478913-87-0 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-phenoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



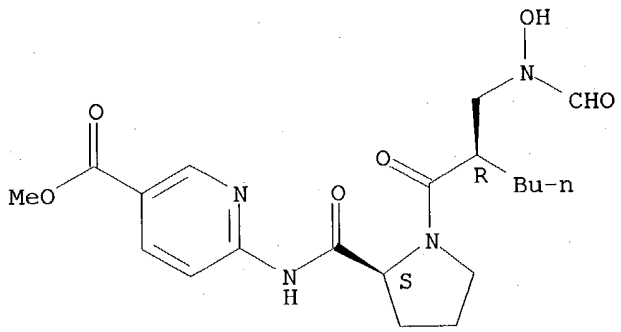
RN 478913-91-6 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-fluoro-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



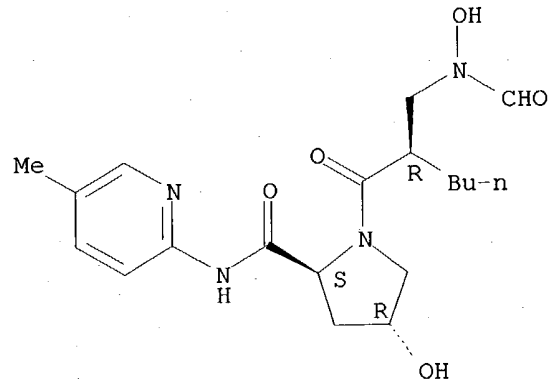
RN 478913-94-9 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[5-(methoxycarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478913-96-1 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-hydroxy-N-(5-methyl-2-pyridinyl)-, (4R)- (9CI) (CA INDEX NAME)

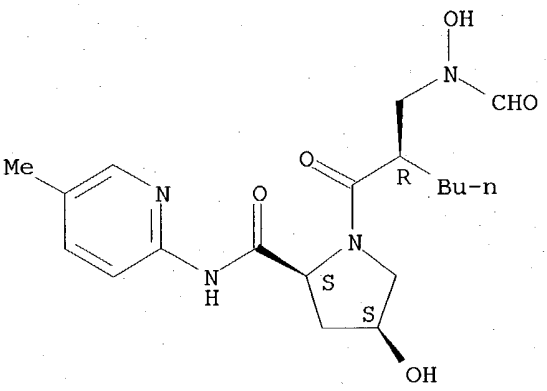
Absolute stereochemistry.



RN 478913-99-4 HCAPLUS

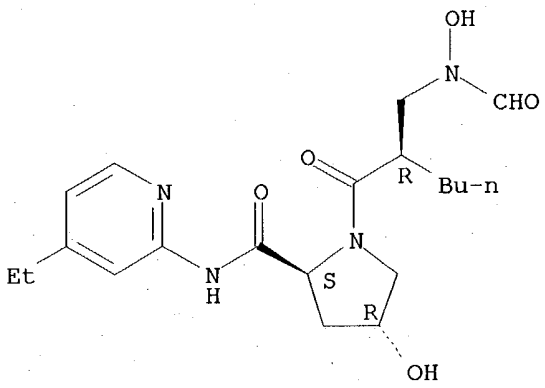
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-hydroxy-N-(5-methyl-2-pyridinyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



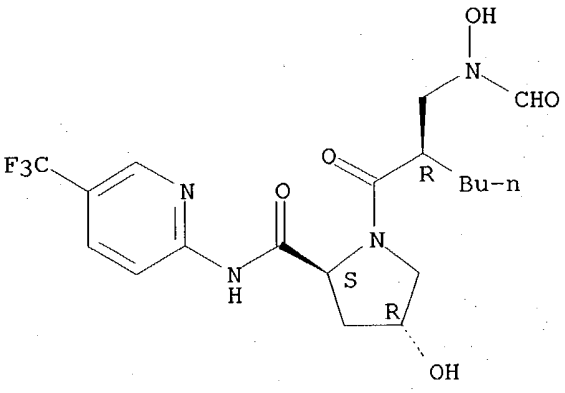
RN 478914-01-1 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-ethyl-2-pyridinyl)-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



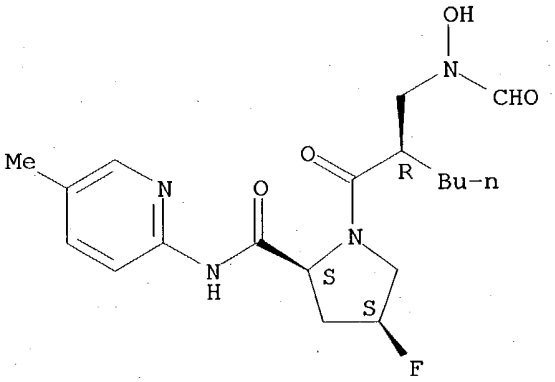
RN 478914-03-3 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-hydroxy-N-[5-(trifluoromethyl)-2-pyridinyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



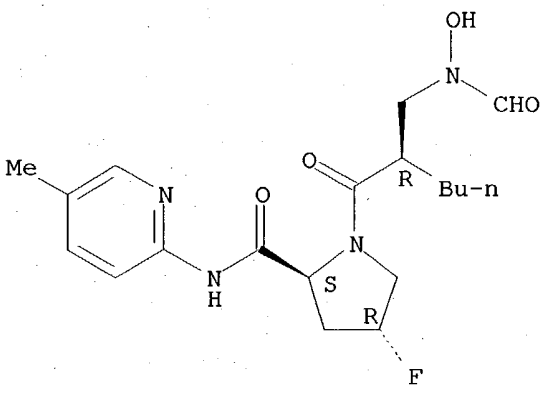
RN 478914-05-5 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-fluoro-N-(5-methyl-2-pyridinyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



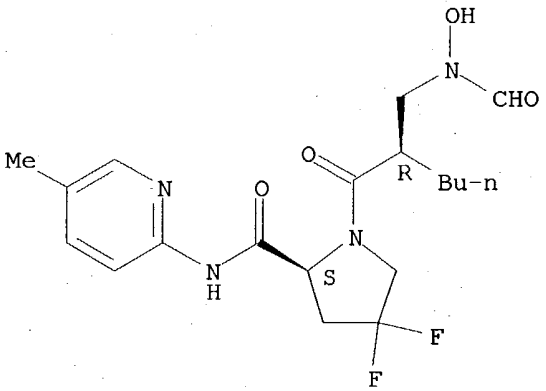
RN 478914-08-8 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-fluoro-N-(5-methyl-2-pyridinyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



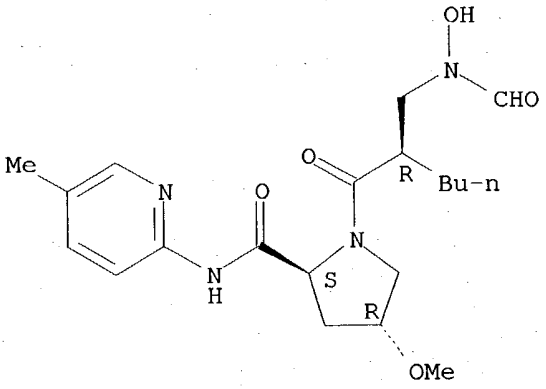
RN 478914-10-2 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4,4-difluoro-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



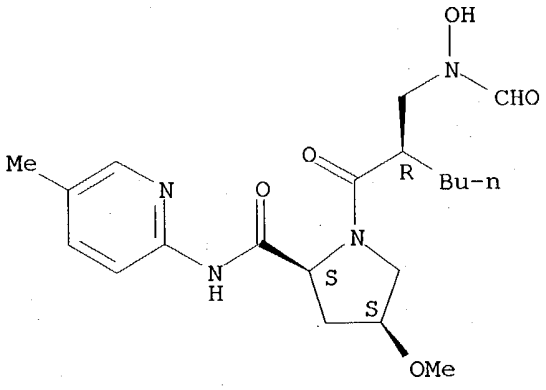
RN 478914-12-4 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-methoxy-N-(5-methyl-2-pyridinyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



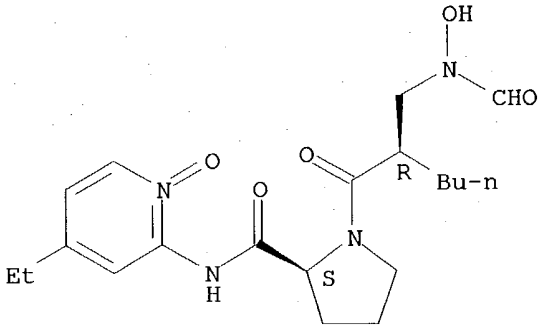
RN 478914-17-9 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-methoxy-N-(5-methyl-2-pyridinyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



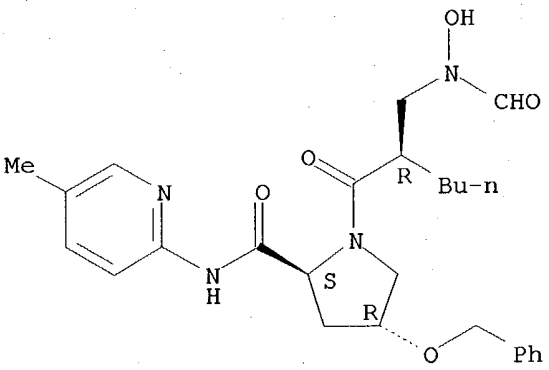
RN 479067-88-4 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-ethyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **478913-98-3P**, (2S,4R)-4-(Benzyloxy)-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)
RN 478913-98-3 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-methyl-2-pyridinyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:435770 HCAPLUS
DOCUMENT NUMBER: 137:165443
TITLE: Crystal Structure of Human MMP9 in Complex with a Reverse Hydroxamate Inhibitor
AUTHOR(S): Rowsell, Sin; Hawtin, Paul; Minshull, Claire A.; Jepson, Holly; Brockbank, Sarah M. V.; Barratt, Derek G.; Slater, Anthony M.; McPheat, William L.; Waterson, David; Henney, Adriano M.; Pauptit, Richard A.
CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE: Journal of Molecular Biology (2002), 319(1), 173-181
CODEN: JMOBAK; ISSN: 0022-2836
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Matrix metalloproteinases (MMPs) and their inhibitors are important in connective tissue re-modeling in diseases of the cardiovascular system, such as atherosclerosis. Various members of the MMP family have been shown to be expressed in atherosclerotic lesions, but MMP9 is consistently seen in inflammatory atherosclerotic lesions. MMP9 over-expression is implicated in the vascular re-modeling events preceding plaque rupture (the most common cause of acute myocardial infarction). Reduced MMP9 activity, either by genetic manipulation or through pharmacol. intervention, has an impact on ventricular re-modeling following infarction. MMP9 activity may therefore represent a key mechanism in the pathogenesis of heart failure. We have detd. the crystal structure, at

2.3 resoln., of the catalytic domain of human MMP9 bound to a peptidic reverse hydroxamate inhibitor as well as the complex of the same inhibitor bound to an active-site mutant (E402Q) at 2.1 resoln. MMP9 adopts the typical MMP fold. The catalytic center is composed of the active-site zinc ion, coordinated by three histidine residues (401, 405 and 411) and the essential glutamic acid residue (402). The main differences between the catalytic domains of various MMPs occur in the S1' subsite or selectivity pocket. The S1' specificity site in MMP9 is perhaps best described as a tunnel leading toward solvent, as in MMP2 and MMP13, as opposed to the smaller pocket found in fibroblast collagenase and matrilysin. The present structure enables us to aid the design of potent and specific inhibitors for this important cardiovascular disease target.

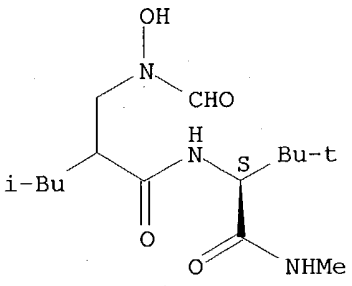
CC 7-5 (Enzymes)
Section cross-reference(s): 75

IT 56-86-0D, L-Glutamic acid, complexes with reverse hydroxamate inhibitor 71-00-1, L-Histidine, biological studies 4312-87-2, N-Formylhydroxylamine 7440-66-6, Zinc, biological studies 146480-36-6D, MMP 9, complexes with reverse hydroxamate inhibitor **448297-71-0**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(crystal structure of human MMP9 in complex with reverse hydroxamate inhibitor reveals catalytic center is composed of active-site zinc ion coordinated by three histidine residues and essential glutamic acid residue)

IT **448297-71-0**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(crystal structure of human MMP9 in complex with reverse hydroxamate inhibitor reveals catalytic center is composed of active-site zinc ion coordinated by three histidine residues and essential glutamic acid residue)

RN 448297-71-0 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-(2-methylpropyl)-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:240074 HCAPLUS
DOCUMENT NUMBER: 136:398389
TITLE: In vitro activities of peptide deformylase inhibitors against gram-positive pathogens
AUTHOR(S): Wise, R.; Andrews, J. M.; Ashby, J.

CORPORATE SOURCE: Department of Microbiology, City Hospital NHS Trust, Birmingham, UK
SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(4), 1117-1118
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The activities of 6 peptide deformylase (PDF) inhibitors against 107 respiratory tract pathogens were studied and compared to those of ciprofloxacin and amoxicillin-clavulanate. Against Streptococcus pneumoniae, BB-83698 and BB-83815 were the most active PDF inhibitors (MIC at which 90% of the organisms tested were inhibited [MIC90], 0.25 .mu.g/mL). 5 Of the agents showed similar activity against Moraxella catarrhalis (MIC90, 0.12 .mu.g/mL). All PDF inhibitors were less active against Haemophilus influenzae; BB-3497 was the most active agent (MIC90, 2 .mu.g/mL). Five agents were studied against Chlamydia spp. and showed activity similar to that of ciprofloxacin (MIC, 0.5 to 4 .mu.g/mL). This study demonstrates that PDF inhibitors have the potential to be developed for the treatment of respiratory tract infections.

CC 10-5 (Microbial, Algal, and Fungal Biochemistry)

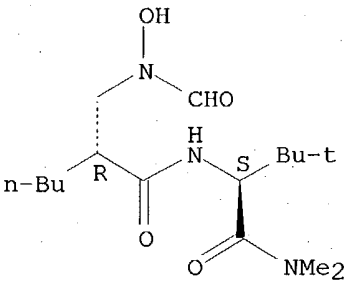
IT 1406-05-9, Penicillin 79198-29-1 85721-33-1, Ciprofloxacin **235784-88-0**, BB 3497 428862-38-8, BB 83698 428862-40-2, BB 83815 428862-41-3, BB 83857 428862-43-5, BB 84416 428862-46-8, BB 84518
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro activities of peptide deformylase inhibitors against gram-pos. pathogens compared with penicillin, ciprofloxacin, and amoxicillin-clavulanate)

IT **235784-88-0**, BB 3497
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro activities of peptide deformylase inhibitors against gram-pos. pathogens compared with penicillin, ciprofloxacin, and amoxicillin-clavulanate)

RN 235784-88-0 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

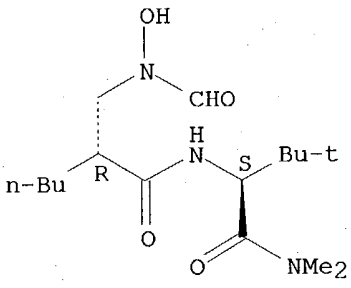


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2003 ACS

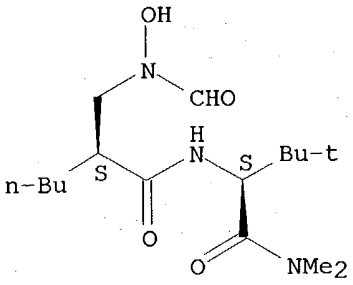
ACCESSION NUMBER: 2001:674624 HCAPLUS
DOCUMENT NUMBER: 136:6325
TITLE: Asymmetric synthesis of BB-3497-A potent peptide deformylase inhibitor
AUTHOR(S): Pratt, L. M.; Beckett, R. P.; Davies, S. J.; Launchbury, S. B.; Miller, A.; Spavold, Z. M.; Todd, R. S.; Whittaker, M.
CORPORATE SOURCE: British Biotech Pharmaceuticals Limited, Cowley, Oxford, OX4 6LY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(19), 2585-2588
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB By screening a library of metalloenzyme inhibitors, the N-formyl-hydroxylamine deriv. BB-3497 was identified as a potent inhibitor of Escherichia coli peptide deformylase with antibacterial activity both in vitro and in vivo. The homochiral synthesis of BB-3497, involving a novel asym. Michael addn. reaction is described.
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
IT **235784-88-0P 235785-83-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(asym. synthesis of BB-3497-A via asym. Michael addn. as potent inhibitor of Escherichia coli peptide deformylase)
IT **235784-88-0P 235785-83-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(asym. synthesis of BB-3497-A via asym. Michael addn. as potent inhibitor of Escherichia coli peptide deformylase)
RN 235784-88-0 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235785-83-8 HCAPLUS
CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:115119 HCAPLUS
DOCUMENT NUMBER: 134:162573
TITLE: Preparation of N-formyl hydroxylamine derivatives as antibacterial agents
INVENTOR(S): Hunter, Michael George; Beckett, Raymond Paul; Clements, John Martin; Whittaker, Mark; Davies, Stephen John; Pratt, Lisa Marie; Spavold, Zoe Marie; Launchbury, Steven
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010835	A1	20010215	WO 1999-GB2629	19990810
W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9952961	A1	20010305	AU 1999-52961	19990810
EP 1210330	A1	20020605	EP 1999-938453	19990810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

PRIORITY APPLN. INFO.: WO 1999-GB2629 A 19990810
OTHER SOURCE(S): MARPAT 134:162573

AB Selected compds. HC(O)CH(OH)CH(R2)C(O)A (I; e.g. N-[1S-(4-benzylpiperidine-1-carbonyl)-2,2-dimethylpropyl]-3-cyclopentyl-2R-[(formylhydroxyamino)methyl]propionamide) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)- group; and A = NHCHR4C(O)NR5R6 or NR5R6 (R4 = side chain of a natural or non-natural alpha amino acid; R5 and R6 = independently H or C1-C6 alkyl, heterocyclic or aryl(C1-C6 alkyl)-, R5 and R6 when taken together with the N atom to which they are attached from an optionally substituted satd. heterocyclic ring of 3 to 8 atoms which ring is optionally fused to a carbocyclic or 2nd heterocyclic ring). The claimed compds. inhibit bacterial polypeptide deformylase (PDF; EC

3.5.1.31), but quant. data are not given. Although the methods of prepn. are not claimed, 27 example prepns. are included.

IC ICM C07D211-16
ICS C07D295-18; A61K031-16; C07D295-22; C07C259-06; C07D211-60; C07D295-20; C07D217-06; C07D211-48; C07C321-16; C07C279-14; A61K031-445; A61K031-495; A61K031-47; A61P031-04; C07D211-58

CC 21-2 (General Organic Chemistry)
Section cross-reference(s): 1, 10, 27, 28, 34, 63

IT 325459-35-6P, N-[1S-(4-Benzylpiperidine-1-carbonyl)-2,2-dimethylpropyl]-3-cyclopentyl-2R-[(formylhydroxyamino)methyl]propionamide **325459-36-7P**, N-[2R-(4-Benzylpiperidine-1-carbonyl)hexyl]-N-hydroxyformamide **325459-37-8P**, N-Hydroxy-N-[2R-(2-methylpiperidine-1-carbonyl)hexyl]formamide **325459-38-9P**, N-Hydroxy-N-[2R-(piperidine-1-carbonyl)hexyl]formamide **325459-39-0P**, N-Hydroxy-N-[2R-(piperazine-1-carbonyl)hexyl]formamide 325459-40-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid pyrrolidin-1-ylamide 325459-41-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid methyl(1-methylpiperidin-4-yl)amide **325459-42-5P**, N-[2R-(Azepane-1-carbonyl)hexyl]-N-hydroxyformamide 325459-43-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (4-methylpiperazin-1-yl)amide 325459-44-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid diisopropylamide **325459-45-8P**, 1-{2R-[(Formylhydroxyamino)methyl]hexanoyl}piperidine-3-carboxylic acid ethyl ester **325459-46-9P**, 4-{2R-[(Formylhydroxyamino)methyl]hexanoyl}piperazine-1-carboxylic acid ethyl ester **325459-47-0P**, 4-{2R-[(Formylhydroxyamino)methyl]hexanoyl}-1,1-dimethylpiperazinium iodide 325459-48-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(piperidine-1-carbonyl)propyl]amide 325459-49-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(3,4-dihydro-1H-isoquinoline-2-carbonyl)-2,2-dimethylpropyl]amide 325459-50-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzyl-4-hydroxypiperidine-1-carbonyl)-2,2-dimethylpropyl]amide 325459-51-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzylpiperazine-1-carbonyl)-2,2-dimethylpropyl]amide **325459-52-7P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (3-benzylthio-1S-dimethylcarbamoylpropyl)amide **325459-53-8P**, 3S-{2R-[(Formylhydroxyamino)methyl]hexanoylamino}-N,N-dimethylsuccinamic acid benzyl ester **325459-54-9P**, 4S-Dimethylcarbamoyl-4-{2R-[(formylhydroxyamino)methyl]hexanoylamino}butyric acid benzyl ester **325459-55-0P**, (5S-Dimethylcarbamoyl-5-{2R-[(formylhydroxyamino)methyl]hexanoylamino}pentyl)dimethylammonium chloride **325459-56-1P**, 2R-[(Formylhydroxyamino)methyl]butyric acid (1S-1-dimethylcarbamoyl-2,2-dimethylpropyl)amide **325459-57-2P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-carbamoyl-2,2-dimethylpropyl)amide **325459-58-3P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-dimethylcarbamoyl-4-guanidinobutyl)amide 325459-59-4P, [2R-2-(4-Chlorophenyl)-3-(formylhydroxyamino)propionylamino]-2S-3,3,N,N-tetramethylbutyramide 325459-60-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2(3,4-dihydroxyphenyl)ethyl]amide 325459-61-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2(4-hydroxyphenyl)ethyl]amide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)

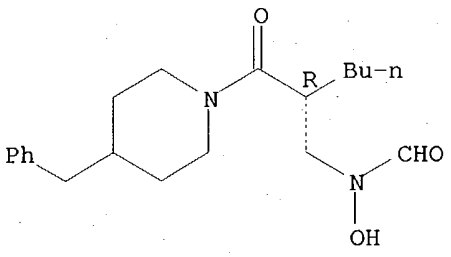
IT 109-01-3, N-Methylpiperazine 534-59-8, n-Butylmalonic acid 622-33-3, O-Benzylhydroxylamine 771-61-9, Pentafluorophenol 3282-30-2, Pivaloyl chloride 13139-17-8, N-(Benzyloxycarbonyloxy)succinimide 20859-02-3,

L-tert-Leucine 31252-42-3, 4-Benzylpiperidine 143415-28-5, 4S-Benzyl-3-(3-cyclopentylpropionyl)oxazolidin-2-one **235785-22-5**, N-Hydroxy-N-[2R-(4-methylpiperazine-1-carbonyl)hexyl]formamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)

IT **325459-36-7P**, N-[2R-(4-Benzylpiperidine-1-carbonyl)hexyl]-N-hydroxyformamide **325459-37-8P**, N-Hydroxy-N-[2R-(2-methylpiperidine-1-carbonyl)hexyl]formamide **325459-38-9P**, N-Hydroxy-N-[2R-(piperidine-1-carbonyl)hexyl]formamide **325459-39-0P**, N-Hydroxy-N-[2R-(piperazine-1-carbonyl)hexyl]formamide **325459-42-5P**, N-[2R-(Azepane-1-carbonyl)hexyl]-N-hydroxyformamide **325459-45-8P**, 1-{2R-[(Formylhydroxyamino)methyl]hexanoyl}piperidine-3-carboxylic acid ethyl ester **325459-46-9P**, 4-{2R-[(Formylhydroxyamino)methyl]hexanoyl}piperazine-1-carboxylic acid ethyl ester **325459-47-0P**, 4-{2R-[(Formylhydroxyamino)methyl]hexanoyl}-1,1-dimethylpiperazinium iodide **325459-52-7P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (3-benzylthio-1S-dimethylcarbamoylpropyl)amide **325459-53-8P**, 3S-{2R-[(Formylhydroxyamino)methyl]hexanoylamino}-N,N-dimethylsuccinamic acid benzyl ester **325459-54-9P**, 4S-Dimethylcarbamoyl-4-{2R-[(formylhydroxyamino)methyl]hexanoylamino}butyric acid benzyl ester **325459-55-0P**, (5S-Dimethylcarbamoyl-5-{2R-[(formylhydroxyamino)methyl]hexanoylamino}pentyl)dimethylammonium chloride **325459-56-1P**, 2R-[(Formylhydroxyamino)methyl]butyric acid (1S-1-dimethylcarbamoyl-2,2-dimethylpropyl)amide **325459-57-2P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-carbamoyl-2,2-dimethylpropyl)amide **325459-58-3P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-dimethylcarbamoyl-4-guanidinobutyl)amide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)

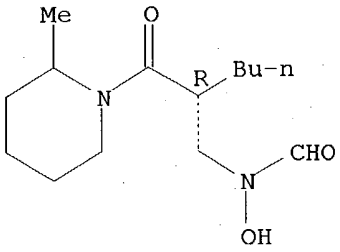
RN 325459-36-7 HCAPLUS
CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



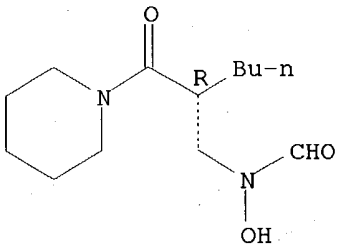
RN 325459-37-8 HCAPLUS
CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



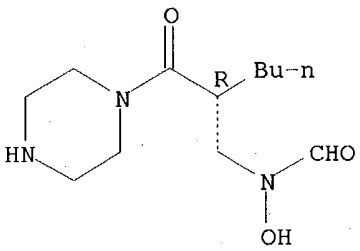
RN 325459-38-9 HCAPLUS
CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



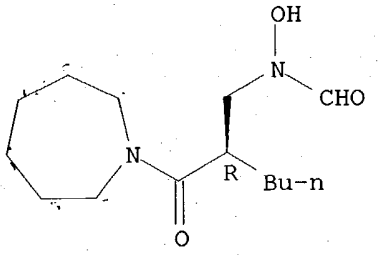
RN 325459-39-0 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



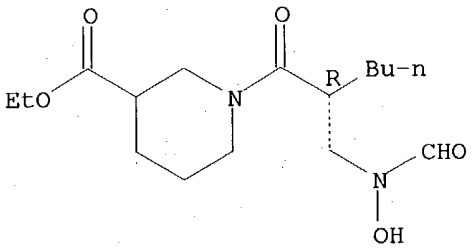
RN 325459-42-5 HCAPLUS
CN 1H-Azepine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



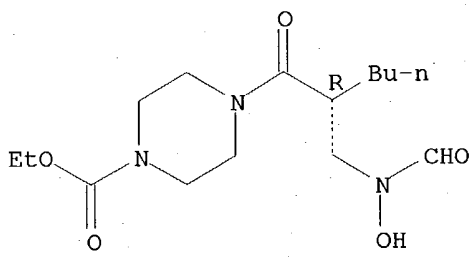
RN 325459-45-8 HCAPLUS
CN 3-Piperidinecarboxylic acid, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



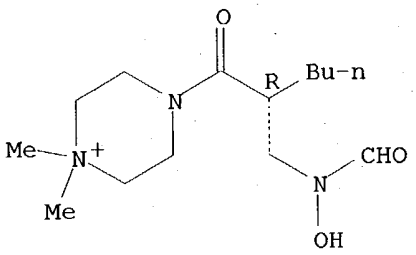
RN 325459-46-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



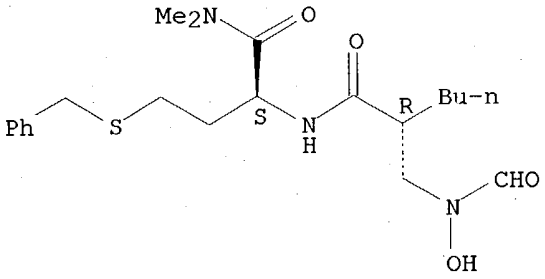
RN 325459-47-0 HCAPLUS
CN Piperazinium, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-1,1-dimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



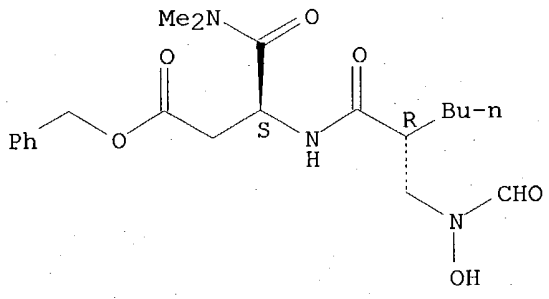
RN 325459-52-7 HCAPLUS
CN L-Homocysteinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-S-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



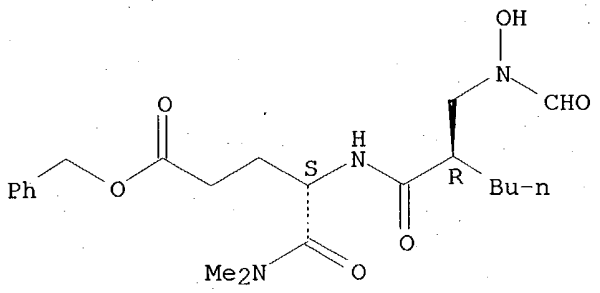
RN 325459-53-8 HCAPLUS
CN L-.alpha.-Asparagine, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



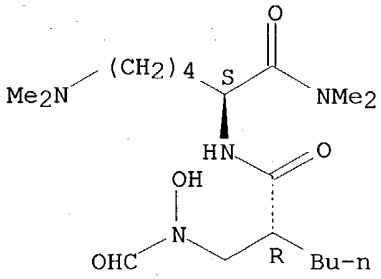
RN 325459-54-9 HCAPLUS
CN L-.alpha.-Glutamine, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325459-55-0 HCAPLUS
CN L-Lysinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,N6,N6-tetramethyl-, monohydrochloride (9CI) (CA INDEX NAME)

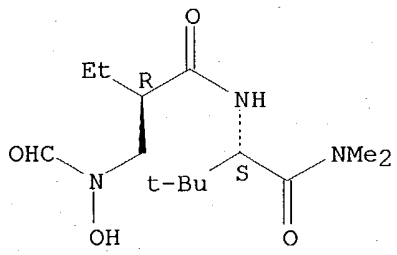
Absolute stereochemistry.



● HCl

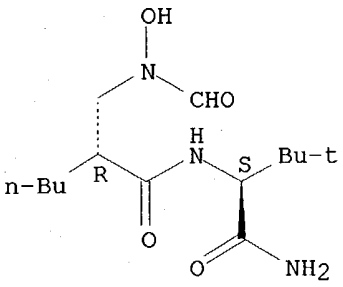
RN 325459-56-1 HCAPLUS
CN L-Valinamide, (2R)-2-ethyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



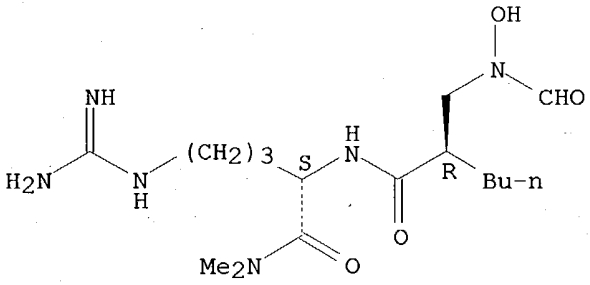
RN 325459-57-2 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



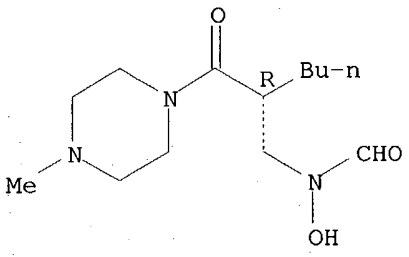
RN 325459-58-3 HCAPLUS
CN L-Argininamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **235785-22-5,** N-Hydroxy-N-[2R-(4-methylpiperazine-1-carbonyl)hexyl]formamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)
RN 235785-22-5 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:115118 HCAPLUS

DOCUMENT NUMBER: 134:163065
TITLE: Preparation of hydroxamic acid and N-formyl hydroxylamine derivatives as antibacterial agents
INVENTOR(S): Pratt, Lisa Marie; Keavey, Kenneth Noel; Pain, Gilles Denis; Mounier, Laurent Franck
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010834	A2	20010215	WO 2000-GB3078	20000810
WO 2001010834	A3	20010628		
W: AE, AU, BR, BY, CA, CN, CZ, DZ, EE, GB, GE, HU, ID, IL, IN, IS, JP, KE, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, US, VN, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1202968	A2	20020508	EP 2000-949820	20000810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
BR 2000013112	A	20020611	BR 2000-13112	20000810
JP 2003506438	T2	20030218	JP 2001-515301	20000810
NO 2002000621	A	20020409	NO 2002-621	20020208

PRIORITY APPLN. INFO.: GB 1999-18869 A 19990810
GB 1999-27093 A 19991116
WO 2000-GB3078 W 20000810

OTHER SOURCE(S): MARPAT 134:163065

AB Selected compds. QCH(R1)CH(R2)C(O)A (I) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, Q = -N(OH)C(O)H or -C(O)NH(OH); R1 = H, C1-C6 alkyl or C1-C6 alkyl substituted by .gtoreq. halogen atoms, or, except when Q is -N(OH)C(O)H, hydroxy, C1-C6 alkoxy, C1-C6 alkenyloxy, amino, C1-C6 alkylamino, or di-(C1-C6 alkyl)amino; R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)-; and A = -NHCHR4C(O)NR5R6 or -NR5R6, wherein R4 = side chain of a natural or non-natural .alpha.-amino acid, and R5 and R6 when taken together with the N atom to which they are attached form a satd. heterocyclic 1st ring of 5 to 7 atoms (piperidine and piperazine in the examples). In general, the compds. of the examples are more active against the Gram pos. S. capitis than the Gram neg. E. coli. Test results are also reported for 2R-cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide against certain respiratory tract pathogens. Although the methods of prepn. are not claimed, .apprx.95 example prepns. are included.

IC ICM C07D211-00
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 27, 34, 63
IT 325795-25-3P 325795-27-5P 325795-29-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-methoxybenzoyl)piperidine-1-carbonyl]-2,2-dimethylpropyl}amide
325795-37-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzotriazol-1-ylpiperidine-1-carbonyl)-2,2-dimethylpropyl]amide
325795-38-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid

{1S-[4-benzo[1,3]dioxol-5-ylmethylpiperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-39-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(4-phenylpiperidine-1-carbonyl)propyl]amide 325795-40-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(6,7-dimethoxy-3,4-dihydro-1H-isoquinoline-2-carbonyl)-2,2-dimethylpropyl]amide 325795-41-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-fluorophenyl)piperidine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-42-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(2-oxo-2,3-dihydrobenzoimidazol-1-yl)piperidine-1-carbonyl]propyl}amide 325795-43-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzoylpiperidine-1-carbonyl)-2,2-dimethylpropyl]amide 325795-44-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzhydrylpiperazine-1-carbonyl)-2,2-dimethylpropyl]amide 325795-45-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,5-dimethylphenyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-46-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2-methoxyphenyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-47-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(furan-3-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-48-0P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(5-furan-2-yl-2H-pyrazol-3-yl)piperidine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-49-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-phenyl-2H-pyrazol-3-yl)piperidine-1-carbonyl]propyl}amide 325795-50-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-methoxyphenyl)-3-methylpiperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-51-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[1-(3,4-dimethoxybenzyl)-6,7-dimethoxy-3,4-dihydro-1H-isoquinoline-2-carbonyl]-2,2-dimethylpropyl}amide 325795-52-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-{4-[5-(2-chlorophenyl)-2H-pyrazol-3-yl]piperidine-1-carbonyl}-2,2-dimethylpropyl)amide **325795-53-7P**, N-Hydroxy-N-[2R-(4-pyrimidin-2-ylpiperazine-1-carbonyl)hexyl]formamide **325795-54-8P**, N-{2R-[4-(4-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-55-9P**, N-{2R-[4-Benzo(1,3)dioxol-5-ylmethylpiperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-56-0P**, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)-3-methylpiperazine-1-carbonyl]hexyl}formamide **325795-57-1P**, N-{2R-[4-(4-Acetylphenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-58-2P**, N-[2R-(4-Benzhydrylpiperazine-1-carbonyl)hexyl]-N-hydroxyformamide **325795-59-3P**, N-Hydroxy-N-[2R-(4-phenylpiperidine-1-carbonyl)hexyl]formamide **325795-60-6P**, N-Hydroxy-N-{2R-[4-(hydroxydiphenylmethyl)piperidine-1-carbonyl]hexyl}formamide **325795-61-7P**, N-Hydroxy-N-[2R-(4-phenylpiperazine-1-carbonyl)hexyl]formamide **325795-62-8P**, N-(2R-{4-[(4-Chlorophenyl)phenylmethyl]piperazine-1-carbonyl}hexyl)-N-hydroxyformamide **325795-63-9P**, N-{2R-[4-(3-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-65-1P**, N-Hydroxy-N-(2R-{4-[2-(2-hydroxyethoxy)ethyl]piperazine-1-carbonyl}hexyl)formamide **325795-67-3P**, N-Hydroxy-N-{2R-[4-(3-hydroxypropyl)piperazine-1-carbonyl]hexyl}formamide **325795-68-4P**, N-Hydroxy-N-{2R-[2-(2-hydroxyethyl)piperazine-1-carbonyl]hexyl}formamide **325795-70-8P**, N-{2R-[4-(3,4-Dichlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-71-9P**, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)piperazine-1-carbonyl]hexyl}formamide **325795-72-0P**, N-Hydroxy-N-{2R-[4-(3-trifluoromethylpyridin-2-yl)piperazine-1-carbonyl]hexyl}formamide **325795-73-1P**, N-Hydroxy-N-{2R-[4-(1H-

indol-4-yl)piperazine-1-carbonyl]hexyl}formamide **325795-74-2P,** N-(2R-{4-[Bis(4-fluorophenyl)methyl]piperazine-1-carbonyl}hexyl)-N-hydroxyformamide **325795-75-3P,** N-Hydroxy-N-{2R-[4-(4-nitrophenyl)piperazine-1-carbonyl]hexyl}formamide **325795-76-4P,** N-{2R-[4-(4-Fluorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-77-5P,** N-{2R-[4-(Furan-2-carbonyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-78-6P,** N-{2R-[4-(2,5-Dimethylphenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-80-0P, 3R-Cyclopentylmethyl-4-[4-(4-fluorophenyl)piperazin-1-yl]-N-hydroxy-4-oxobutyramide 325795-83-3P, 3R-Cyclopentylmethyl-4-[4-(3,4-dichlorophenyl)piperazin-1-yl]-N-hydroxy-4-oxobutyramide 325795-84-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-88-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2-cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-89-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(3-cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-90-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-biphenyl-4-ylmethylpiperazine-1-carbonyl)-2,2-dimethylpropyl]amide 325795-91-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-biphenyl-2-ylmethylpiperazine-1-carbonyl)-2,2-dimethylpropyl]amide 325795-92-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(4-naphthalen-2-ylmethylpiperazine-1-carbonyl)propyl]amide 325795-93-5P, N-{1S-[4-(4-Cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}-2R-cyclopentylmethyl-3-(formylhydroxyamino)propionamide 325795-94-6P, N-{1S-[4-(2-Cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}-2R-cyclopentylmethyl-3-(formylhydroxyamino)propionamide 325795-95-7P, N-{1S-[4-(3-Cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}-2S-cyclopentylmethyl-3-(formylhydroxyamino)propionamide 325795-97-9P, N-[1S-(4-Biphenyl-4-ylmethylpiperazine-1-carbonyl)-2,2-dimethylpropyl]-2R-(cyclopentylmethyl)-3-(formylhydroxyamino)propionamide 325795-98-0P, N-[1S-(4-Biphenyl-2-ylmethylpiperazine-1-carbonyl)-2,2-dimethylpropyl]-2R-cyclopentylmethyl-3-(formylhydroxyamino)propionamide 325795-99-1P, 2R-Cyclopentylmethyl-N-[2,2-dimethyl-1S-(4-naphthalen-2-ylmethylpiperazine-1-carbonyl)propyl]-3-(formylhydroxyamino)propionamide 325796-00-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-{4-[benzo[1,3]dioxole-5-carbonyl]piperazine-1-carbonyl}-2,2-dimethylpropyl)amide 325796-04-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(4-pyridin-3-ylmethylpiperazine-1-carbonyl)propyl]amide 325796-07-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-methylpyrazine-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-08-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-acetyl-3,5-dimethyl-1H-pyrrole-2-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-09-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-methyl-1H-pyrazole-3-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-10-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,5-dimethyl-2H-pyrazole-3-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-11-0P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(1-H-pyrrole-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-12-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(pyridine-3-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-13-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2-hydroxypyridine-3-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-14-3P, 2R[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,6-dihydroxypyrimidine-4-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-15-4P, 2R-[(Formylhydroxyamino)methyl]he

xanoic acid {2,2-dimethyl-1S-[4-(pyrazine-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-16-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-methylisoxazole-3-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-17-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(thiophene-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-18-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (2,2-dimethyl-1S-{4-[4-methyl-1,2,3-thiadiazole-5-carbonyl]piperazine-1-carbonyl}propyl)amide 325796-19-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(3,5-dimethylisoxazole-4-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-20-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(isoxazole-5-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-21-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(2-pyridin-4-ylthiazole-4-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-22-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(5-methanesulfonylthiophene-2-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-23-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,4-dimethylthiazole-5-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-24-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2-chloropyridine-3-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-25-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(pyridine-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-26-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(1-methyl-1H-pyrrole-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-27-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(biphenyl-4-sulfonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-28-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(biphenyl-4-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-29-0P 325796-30-3P 325796-31-4P 325796-36-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(4-pyrimidin-2-ylpiperazine-1-carbonyl)propyl]amide 325796-37-0P, N1-(1S-{4-[1,3-Benzodioxole-5-carbonyl]piperazine-1-carbonyl}-2,2-dimethylpropyl)-2R-cyclopentylmethyl-N4-hydroxysuccinamide 325796-46-1P, N1-[1S-(4-Biphenyl-4-ylmethylpiperazine-1-carbonyl)-2,2-dimethylpropyl]-2R-cyclopentylmethyl-N4-hydroxysuccinamide 325796-47-2P, 2R-Cyclopentylmethyl-N1-[2,2-dimethyl-1S-(4-naphthalen-2-ylmethylpiperazine-1-carbonyl)propyl]-N4-hydroxysuccinamide 325796-48-3P, 2R-Cyclopentylmethyl-N1-[2,2-dimethyl-1S-(4-pyridin-3-ylmethylpiperazine-1-carbonyl)propyl]-N4-hydroxysuccinamide 325796-56-3P, 4-(1S-{2-[2R-Cyclopentylmethyl-3-(formylhydroxyamino)propionylamino]-3,3-dimethylbutyryl}piperidin-4-yloxy)-N,N-dimethylbenzamide 325796-57-4P, 4-(1-{2S-[3-(Benzyloxyformylamino)-2R-cyclopentylmethylpropionylamino]-3,3-dimethylbutyryl}piperidin-4-yloxy)-N-methylbenzamide 325796-58-5P, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(morpholine-4-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide 325796-59-6P, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(4-methylpiperazine-1-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide 325796-60-9P, 2R-Cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide 325796-61-0P, 2R-Cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(2S-hydroxymethylpyrrolidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide 325796-62-1P, 4-(1-{2S-[2R-Cyclopentylmethyl-3-(formylhydroxyamino)propionylamino]-3,3-dimethylbutyryl}piperidin-4-yloxy)benzoic acid methyl ester 325796-63-2P, 2R-Cyclopentylmethyl-3-(formylhydroxyamino)-N-{1S-[4-(4-hydroxymethylphenoxy)piperidine-1-carbonyl]-2,2-dimethylpropyl}propionamide

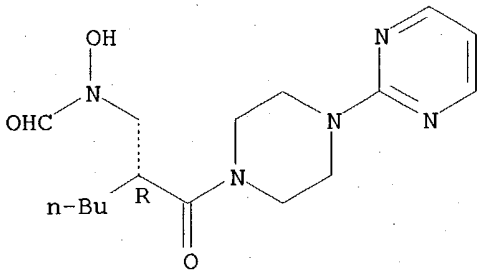
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

IT **325795-53-7P**, N-Hydroxy-N-[2R-(4-pyrimidin-2-ylpiperazine-1-carbonyl)hexyl]formamide **325795-54-8P**, N-{2R-[4-(4-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-55-9P**, N-{2R-[4-Benzo(1,3)dioxol-5-ylmethylpiperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-56-0P**, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)-3-methylpiperazine-1-carbonyl]hexyl}formamide **325795-57-1P**, N-{2R-[4-(4-Acetylphenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-58-2P**, N-[2R-(4-Benzhydrylpiperazine-1-carbonyl)hexyl]-N-hydroxyformamide **325795-59-3P**, N-Hydroxy-N-[2R-(4-phenylpiperidine-1-carbonyl)hexyl]formamide **325795-60-6P**, N-Hydroxy-N-{2R-[4-(hydroxydiphenylmethyl)piperidine-1-carbonyl]hexyl}formamide **325795-61-7P**, N-Hydroxy-N-[2R-(4-phenylpiperazine-1-carbonyl)hexyl]formamide **325795-62-8P**, N-(2R-{4-[(4-Chlorophenyl)phenylmethyl]piperazine-1-carbonyl}hexyl)-N-hydroxyformamide **325795-63-9P**, N-{2R-[4-(3-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-65-1P**, N-Hydroxy-N-(2R-{4-[2-(2-hydroxyethoxy)ethyl]piperazine-1-carbonyl}hexyl)formamide **325795-67-3P**, N-Hydroxy-N-{2R-[4-(3-hydroxypropyl)piperazine-1-carbonyl]hexyl}formamide **325795-68-4P**, N-Hydroxy-N-{2R-[2-(2-hydroxyethyl)piperazine-1-carbonyl]hexyl}formamide **325795-70-8P**, N-{2R-[4-(3,4-Dichlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-71-9P**, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)piperazine-1-carbonyl]hexyl}formamide **325795-72-0P**, N-Hydroxy-N-{2R-[4-(3-trifluoromethylpyridin-2-yl)piperazine-1-carbonyl]hexyl}formamide **325795-73-1P**, N-Hydroxy-N-{2R-[4-(1H-indol-4-yl)piperazine-1-carbonyl]hexyl}formamide **325795-74-2P**, N-(2R-{4-[Bis(4-fluorophenyl)methyl]piperazine-1-carbonyl}hexyl)-N-hydroxyformamide **325795-75-3P**, N-Hydroxy-N-{2R-[4-(4-nitrophenyl)piperazine-1-carbonyl]hexyl}formamide **325795-76-4P**, N-{2R-[4-(4-Fluorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-77-5P**, N-{2R-[4-(Furan-2-carbonyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide **325795-78-6P**, N-{2R-[4-(2,5-Dimethylphenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

RN 325795-53-7 HCAPLUS

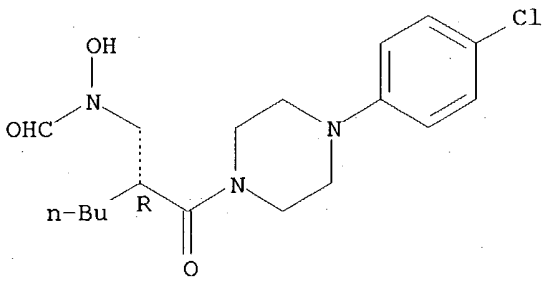
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



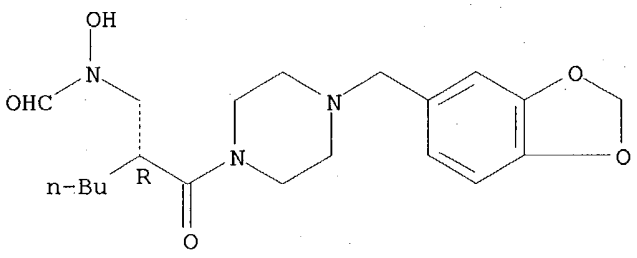
RN 325795-54-8 HCAPLUS
CN Piperazine, 1-(4-chlorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



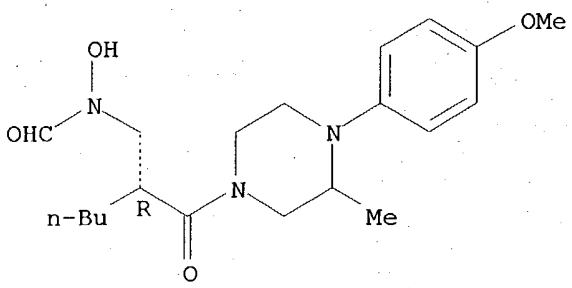
RN 325795-55-9 HCAPLUS
CN Piperazine, 1-(1,3-benzodioxol-5-ylmethyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



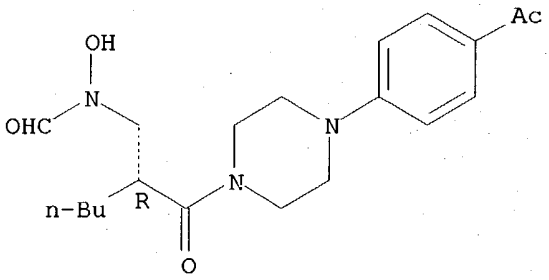
RN 325795-56-0 HCAPLUS
CN Piperazine, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-1-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



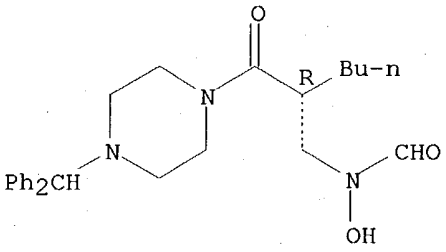
RN 325795-57-1 HCAPLUS
CN Piperazine, 1-(4-acetylphenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



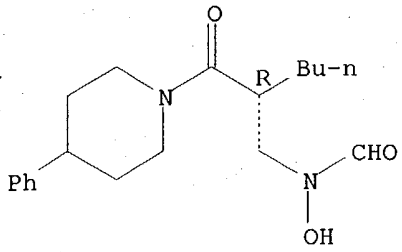
RN 325795-58-2 HCAPLUS
CN Piperazine, 1-(diphenylmethyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



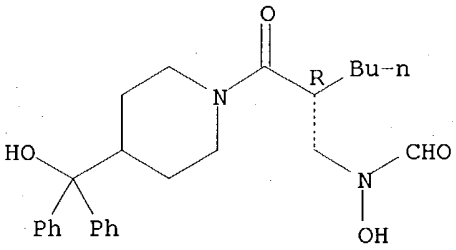
RN 325795-59-3 HCAPLUS
CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



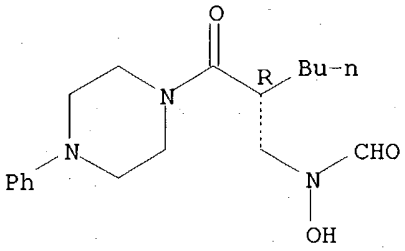
RN 325795-60-6 HCAPLUS
CN 4-Piperidinemethanol, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-.alpha.,.alpha.-diphenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



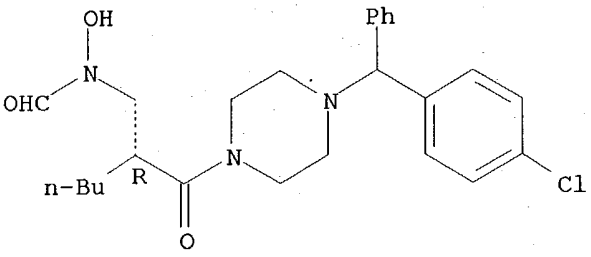
RN 325795-61-7 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



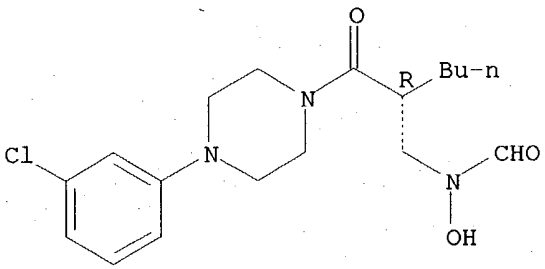
RN 325795-62-8 HCAPLUS
CN Piperazine, 1-[(4-chlorophenyl)phenylmethyl]-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



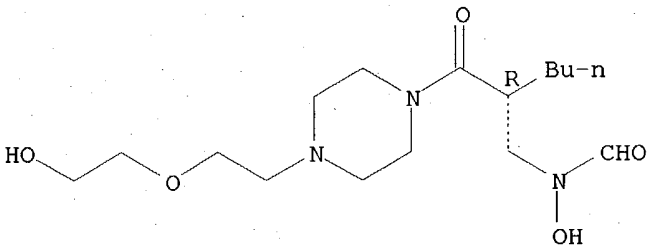
RN 325795-63-9 HCAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



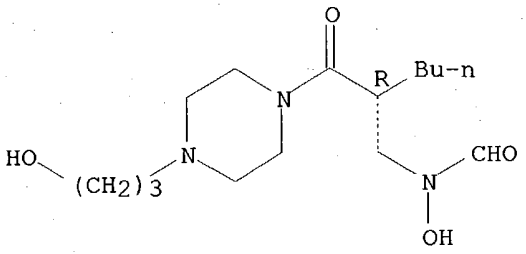
RN 325795-65-1 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-[2-(2-hydroxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



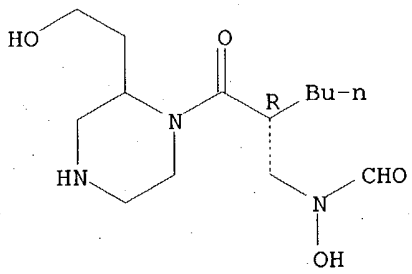
RN 325795-67-3 HCAPLUS
CN 1-Piperazinepropanol, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



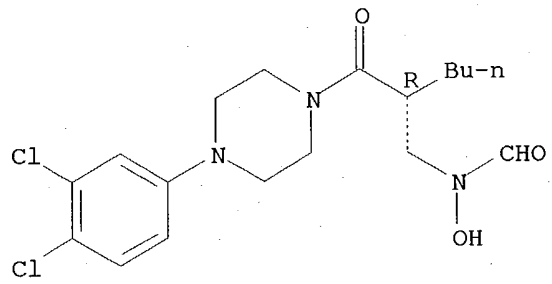
RN 325795-68-4 HCAPLUS
CN 2-Piperazineethanol, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



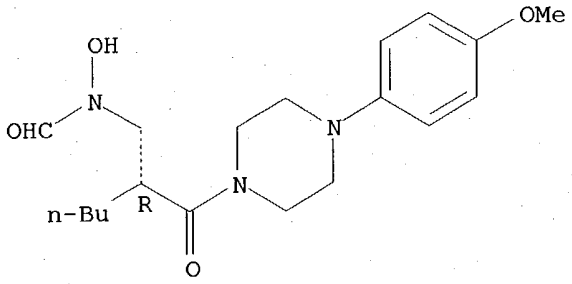
RN 325795-70-8 HCAPLUS
CN Piperazine, 1-(3,4-dichlorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



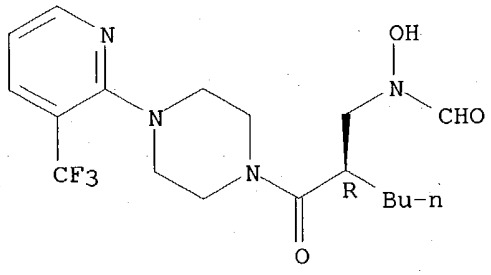
RN 325795-71-9 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



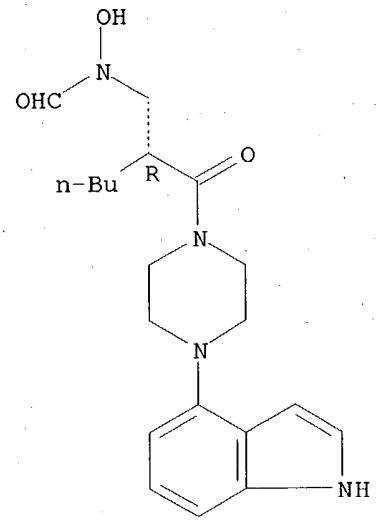
RN 325795-72-0 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325795-73-1 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(1H-indol-4-yl)- (9CI) (CA INDEX NAME)

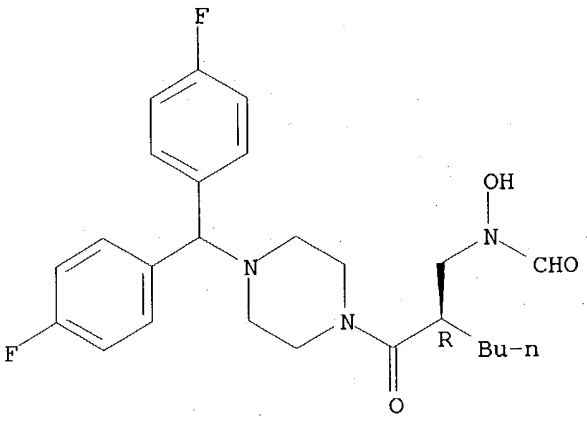
Absolute stereochemistry.



RN 325795-74-2 HCAPLUS

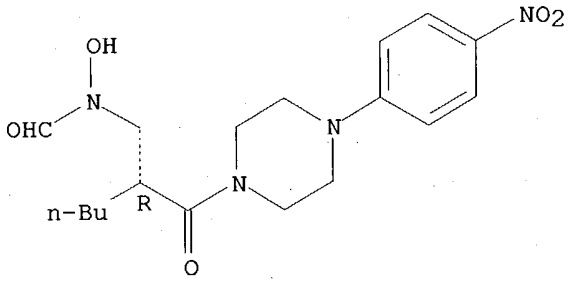
CN Piperazine, 1-[bis(4-fluorophenyl)methyl]-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



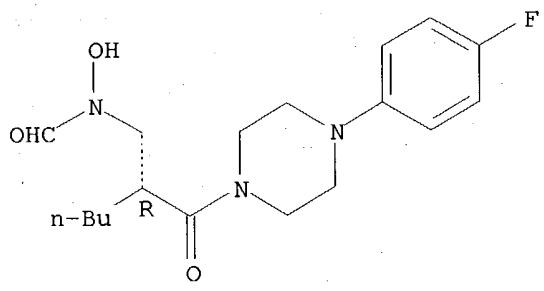
RN 325795-75-3 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



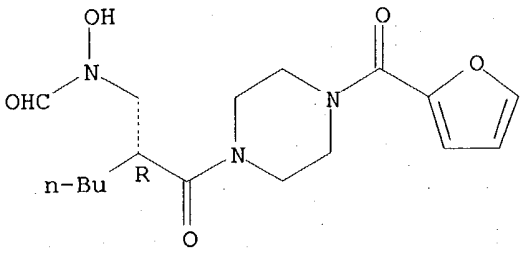
RN 325795-76-4 HCAPLUS
CN Piperazine, 1-(4-fluorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



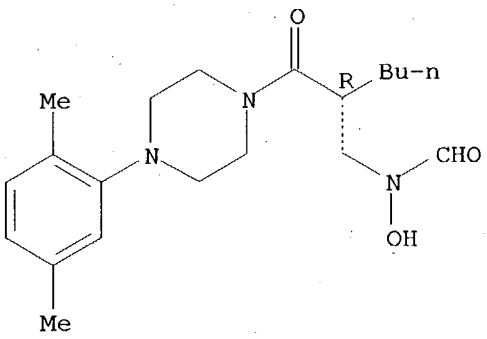
RN 325795-77-5 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325795-78-6 HCAPLUS
CN Piperazine, 1-(2,5-dimethylphenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:64576 HCAPLUS
DOCUMENT NUMBER: 134:249441
TITLE: Antibiotic activity and characterization of BB-3497, a novel peptide deformylase inhibitor
AUTHOR(S): Clements, John M.; Beckett, R. Paul; Brown, Anthony; Catlin, Graham; Lobell, Mario; Palan, Shilpa; Thomas, Wayne; Whittaker, Mark; Wood, Stephen; Salama, Sameeh; Baker, Patrick J.; Rodgers, H. Fiona; Barynin, Vladimir; Rice, David W.; Hunter, Michael G.
CORPORATE SOURCE: British Biotech Pharmaceuticals Ltd., Oxford, OX4 6LY, UK
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(2), 563-570
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Peptide deformylase (PDF) is an essential bacterial metalloenzyme which deformylates the N-formylmethionine of newly synthesized polypeptides and

as such represents a novel target for antibacterial chemotherapy. To identify novel PDF inhibitors, we screened a metalloenzyme inhibitor library and identified an N-formyl-hydroxylamine deriv., BB-3497 (I, OHCN(OH)CH(Bu)CONHC(Bu-t)CONMe2), and a related natural hydroxamic acid antibiotic, actinonin (II), as potent and selective inhibitors of PDF. To elucidate the interactions that contribute to the binding affinity of these inhibitors, we detd. the crystal structures of I and II bound to Escherichia coli PDF at resolns. of 2.1 and 1.75 .ANG., resp. In both complexes, the active-site metal atom was pentacoordinated by the side chains of Cys 90, His 132, and His 136 and the two oxygen atoms of N-formylhydroxylamine or hydroxamate. I had activity against gram-pos. bacteria, including methicillin-resistant Staphylococcus aureus and vancomycin-resistant Enterococcus faecalis, and activity against some gram-neg. bacteria. Time-kill anal. showed that the mode of action of BB-3497 was primarily bacteriostatic. The mechanism of resistance was via mutations within the formyltransferase gene, as previously described for actinonin. While II and its derivs. have not been used clin. because of their poor pharmacokinetic properties, I was shown to be orally bioavailable. A single oral dose of I given 1 h after i.p. injection of S. aureus Smith or methicillin-resistant S. aureus protected mice from infection with median EDs of 8 and 14 mg/kg of body wt., resp. These data validate PDF as a novel target for the design of a new generation of antibacterial agents.

CC 10-5 (Microbial, Algal, and Fungal Biochemistry)
Section cross-reference(s): 1, 7, 75

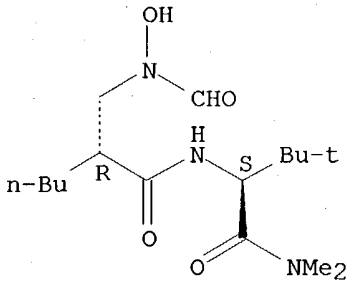
IT **235784-88-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotic activity and characterization of the novel peptide deformylase inhibitor BB-3497)

IT 9032-86-4D, Peptide deformylase, complexes with BB-3497 and actinonin
13434-13-4D, Actinonin, complexes with peptide deformylase
235784-88-0D, complexes with peptide deformylase
RL: PRP (Properties)
(crystal structure of)

IT **235784-88-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotic activity and characterization of the novel peptide deformylase inhibitor BB-3497)

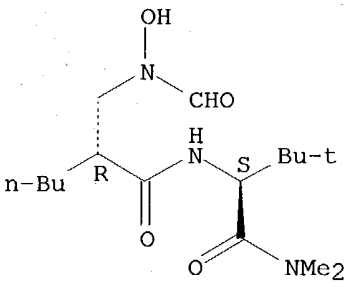
RN 235784-88-0 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **235784-88-0D**, complexes with peptide deformylase
RL: PRP (Properties)
(crystal structure of)
RN 235784-88-0 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:169388 HCAPLUS
DOCUMENT NUMBER: 132:208142
TITLE: Preparation of peptides as matrix metalloprotease inhibitors
INVENTOR(S): Castelhano, Arlindo Lucas; Bender, Steven Lee; Deal, Judith Gail; Horne, Stephen; Liak, Teng J.; Yuan, Zhengyu
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. Ser. No. 147,811, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6037472	A	20000314	US 1994-343158	19941122
CA 2175667	AA	19950511	CA 1994-2175667	19941103

ZA 9408691	A	19960503	ZA 1994-8691	19941103	
CN 1134153	A	19961023	CN 1994-194001	19941103	
CN 1044249	B	19990721			
HU 74730	A2	19970228	HU 1996-1154	19941103	
AT 155471	E	19970815	AT 1994-932023	19941103	
ES 2105783	T3	19971016	ES 1994-932023	19941103	
CZ 287642	B6	20010117	CZ 1996-1260	19941103	
WO 9616027	A1	19960530	WO 1995-US15530	19951121	

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9642897	A1	19960617	AU 1996-42897	19951121	
AU 705439	B2	19990520			
EP 793643	A1	19970910	EP 1995-941493	19951121	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

BR 9509802	A	19970930	BR 1995-9802	19951121	
CN 1173170	A	19980211	CN 1995-197409	19951121	
HU 77533	A2	19980528	HU 1997-1970	19951121	
JP 10509719	T2	19980922	JP 1996-517109	19951121	
RU 2163232	C2	20010220	RU 1997-110062	19951121	
PL 182639	B1	20020228	PL 1995-321024	19951121	
ZA 9509948	A	19970522	ZA 1995-9948	19951122	
FI 9702160	A	19970522	FI 1997-2160	19970521	
NO 9702307	A	19970722	NO 1997-2307	19970521	

PRIORITY APPLN. INFO.:	US 1993-147811	B2	19931104
	US 1994-343158	A	19941122
	WO 1995-US15530	W	19951121

OTHER SOURCE(S): MARPAT 132:208142

AB Peptides R1CH2CH(XR2)CONHCHR3CONH(CH2)pR7 [R1 = SH, AcS, CO2H, hydroxycarbamoyl, N-hydroxyformamide, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, benzyloxycarbamoyl, or P(O)(OH)CH2SR6, where R6 = aryl, pyridyl, or thiazolyl; R2 = biphenyl; R3 = alkyl, cycloalkyl, aralkyl, alkylpyridyl, or alkylthiazolyl; R7 = 4-pyridyl or optionally substituted phenyl; p = 0; X = (CH2)mY(CH2)n, where Y = O, S, or single bond, m, n = 0-4 (m + n = 0-4)] and their pharmaceutically acceptable salts were prepd. The peptides inhibit matrix metalloproteases such as stromelysin, gelatinase, matrilysin and collagenase and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases. Thus, N-[2R-[(tert-butoxycarbonyl)methyl]-5-(4-biphenylyl)pentanoyl]-D(or L)-.beta.-hydroxyvaline-N'-phenylcarboxamide was prepd. via coupling of DL-.beta.-hydroxyvaline-N'-phenylcarboxamide with the substituted pentanoic acid, with sepn. of the diastereomers by radial chromatog.

IC ICM C07D213-72
ICS C07D417-12

NCL 546269700

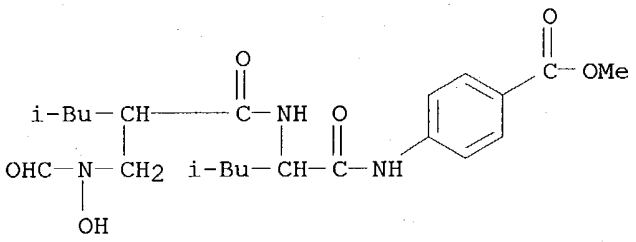
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7

IT 1709-52-0P 25044-10-4P 112106-16-8P 112245-04-2P 156109-64-7P
168681-96-7P 169322-14-9P 169322-17-2P 169322-24-1P 169322-26-3P
169322-27-4P 169322-28-5P 169322-30-9P 169322-31-0P 169322-32-1P
169322-33-2P 169322-34-3P 169322-35-4P 169322-36-5P 179533-47-2P
179533-48-3P 179533-49-4P **179533-50-7P** 179533-51-8P
179533-52-9P 179533-53-0P 179533-54-1P 179533-55-2P 179533-56-3P

179533-57-4P 179533-58-5P 179533-59-6P 179533-60-9P 179533-61-0P
179533-62-1P 179533-63-2P 179533-64-3P 179533-65-4P 179533-66-5P
179533-74-5P 179533-78-9P 179533-79-0P 179533-80-3P 179533-81-4P
179533-82-5P 179533-83-6P 179533-84-7P 179533-85-8P 179533-86-9P
179533-87-0P 179533-88-1P 179533-89-2P 179533-90-5P 179533-92-7P
179534-15-7P 179797-41-2P 179797-42-3P 179797-43-4P
179797-44-5P 179797-46-7P 179797-47-8P 179797-48-9P 179797-49-0P
179797-50-3P 179797-51-4P 179797-52-5P 179797-53-6P 179797-54-7P
179797-55-8P 179797-56-9P 179797-58-1P 179797-59-2P 179797-61-6P
179797-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as matrix metalloprotease inhibitors)

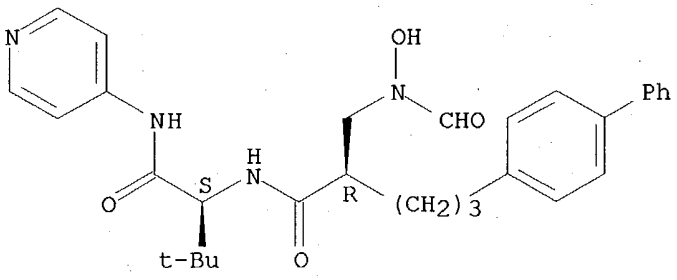
IT **179533-50-7P 179534-15-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as matrix metalloprotease inhibitors)

RN 179533-50-7 HCAPLUS
CN Benzoic acid, 4-[[2-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methyl-1-oxopentyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 179534-15-7 HCAPLUS
CN L-Valinamide, (2R)-2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

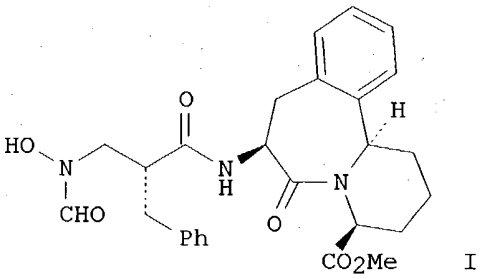
Absolute stereochemistry.



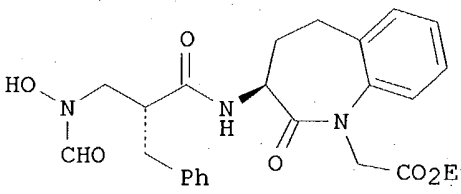
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:146885 HCAPLUS
DOCUMENT NUMBER: 132:347877
TITLE: N-formyl hydroxylamine containing dipeptides: generation of a new class of vasopeptidase inhibitors
AUTHOR(S): Robl, Jeffrey A.; Simpkins, Ligaya M.; Asaad, Magdi M.

CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-5400, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(3), 257-260
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

AB Four primary zinc-binding pharmacophores (thiols, carboxylates, phosphorus acids, and hydroxamates) were used to generate inhibitors of Zn metalloproteases such as ACE, NEP, the MMPs, and ECE. Although compds. which inhibit the activity of both ACE and NEP (vasopeptidase inhibitors, VPIs) have been reported which incorporate a thiol, carboxylate, or phosphorus acid pharmacophore, the generation of hydroxamate based vasopeptidase inhibitors has remained elusive. The first potent vasopeptidase inhibitors, which were generated from the incorporation of conformationally restricted dipeptide mimetics to an N-formyl hydroxylamine Zn-binding group, have been prepd. Compds. such as the aminobenzopyridoazepincarboxylate I and the aminobenzazepincarboxylate II are among the most potent in this series, exhibiting in vitro activity comparable to other classes of inhibitors.

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 27, 28

IT 197902-84-4P 197902-85-5P 269396-29-4P **269396-31-8P**
269396-33-0P 269396-34-1P 269408-26-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of vasopeptidase-inhibiting, heterocyclic, conformationally-restricted dipeptide mimetics contg. N-formylhydroxylamine amino acids)

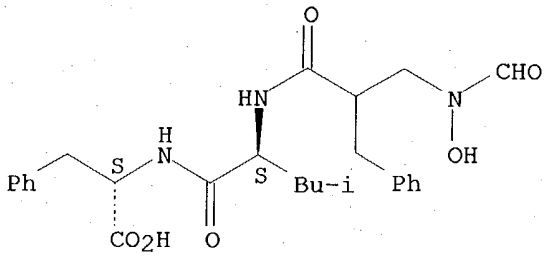
IT **269396-31-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of vasopeptidase-inhibiting, heterocyclic, conformationally-restricted dipeptide mimetics contg. N-formylhydroxylamine amino acids)

RN 269396-31-8 HCAPLUS

CN L-Phenylalanine, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:84604 HCAPLUS

DOCUMENT NUMBER: 132:141951

TITLE: Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions

INVENTOR(S): Bocan, Thomas Michael Andrew

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004892	A2	20000203	WO 1999-US13948	19990618
WO 2000004892	A3	20000518		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335062	AA	20000203	CA 1999-2335062	19990618
AU 9947017	A1	20000214	AU 1999-47017	19990618
BR 9912296	A	20010417	BR 1999-12296	19990618
EP 1098662	A2	20010516	EP 1999-930483	19990618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200100046	A	20020617	EE 2001-46	19990618
JP 2002521328	T2	20020716	JP 2000-560885	19990618
BG 105162	A	20011231	BG 2001-105162	20010117
NO 2001000291	A	20010118	NO 2001-291	20010118

PRIORITY APPLN. INFO.: US 1998-93639P P 19980721
WO 1999-US13948 W 19990618

AB Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the redn. of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simavastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid 25 ACAT compd. lactose 50, corn starch 20, and magnesium stearate 5 mg.

IC ICM A61K031-00

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT
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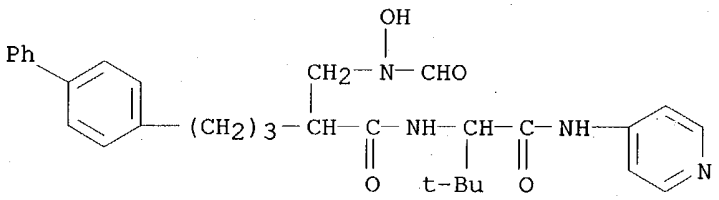
256646-66-9 256646-68-1 256646-69-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

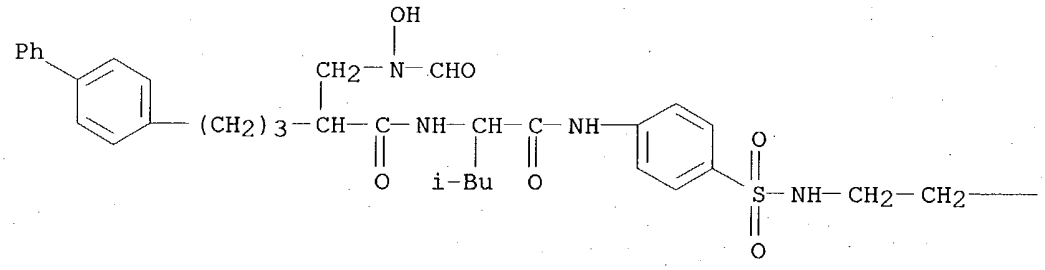
IT **256645-06-4 256645-41-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

RN 256645-06-4 HCAPLUS
CN Valinamide, 2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 256645-41-7 HCAPLUS
CN Leucinamide, 2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanyl-N-[4-[[[2-(dimethylamino)ethyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



—NMe_2

L8 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:511017 HCAPLUS
DOCUMENT NUMBER: 131:144852
TITLE: Preparation of N-formylhydroxylamine-containing peptidyl compounds as antibacterial agents
INVENTOR(S): Hunter, Michael George; Beckett, Raymond Paul; Clements, John Martin; Whittaker, Mark; Davies, Stephen John; Pratt, Lisa Marie; Spavold, Zoe Marie; Launchbury, Steven
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9939704	A1	19990812	WO 1999-GB386	19990205
W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2320476	AA	19990812	CA 1999-2320476	19990205
AU 9925292	A1	19990823	AU 1999-25292	19990205
AU 749699	B2	20020704		
BR 9907689	A	20001114	BR 1999-7689	19990205
GB 2349884	A1	20001115	GB 2000-16855	19990205
EP 1052984	A1	20001122	EP 1999-904977	19990205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002502815	T2	20020129	JP 2000-530203	19990205
NZ 505675	A	20021126	NZ 1999-505675	19990205
ZA 9902045	A	20001011	ZA 1999-2045	19990312
US 6423690	B1	20020723	US 2000-355489	20000107
NO 2000003969	A	20000928	NO 2000-3969	20000804
US 2002165167	A1	20021107	US 2002-134754	20020430

PRIORITY APPLN. INFO.:
GB 1998-2549 A 19980207
GB 1998-6300 A 19980324
GB 1998-10463 A 19980516
GB 1998-28318 A 19981222
WO 1999-GB386 W 19990205
US 2000-355489 A3 20000107

OTHER SOURCE(S): MARPAT 131:144852
AB Title compds. OCHN(OH)CHR1CHR2COA [R1 = H, alkyl, haloalkyl; R2 =

R10(X)n(ALK)m-, where R10 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, or heterocyclyl; ALK = alkylene, alkenylene, alkynylene which may be interrupted by one or more nonadjacent NH, O, or S linkages; X = NH, O, S; m, n = 0 or 1; A = NR3CHR4CONR5R6, NR3CHR4CO2H, NR3CHR4CH2OR7, or NR5R6, where R3 = H, R4 is a side chain of natural or non-natural .alpha.-amino acid or R3 and R4 form a ring; R5, R6 = H, (un)substituted alkyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl or R5 and R6 form a ring; R7 = H, alkyl, acyl] were prepd. as antibacterial agents. Thus, 2R(or S)-[(formylhydroxyamino)methyl]hexanoic acid (2,2-dimethyl-1S-methylcarbamoylpropyl)amide, prepd. via reactions of butylmalonic acid and tert-leucine N-methylamide, showed min. inhibitory concn. 12.5 .mu.M against E coli DH5.alpha., vs. 25 .mu.M for carbenicillin.

IC ICM A61K031-16
ICS A61K031-535; A61K031-165; A61K031-44; A61K031-40; A61K031-195; A61K031-47; A61K031-495; A61K031-445; A61K031-00

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 10

IT **235784-75-5P 235784-76-6P** 235784-77-7P
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235785-87-2P **235785-88-3P 235785-89-4P** 235785-90-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-formylhydroxylamine-contg. peptidyl compds. as antibacterial agents)

IT **235784-75-5P 235784-76-6P 235784-79-9P**
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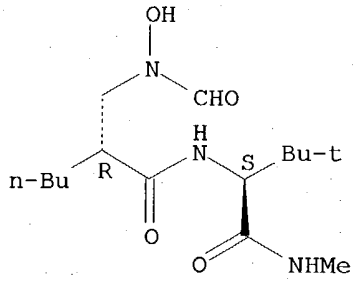
235785-82-7P 235785-83-8P 235785-84-9P
235785-85-0P 235785-86-1P 235785-88-3P
235785-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-formylhydroxylamine-contg. peptidyl compds. as antibacterial agents)

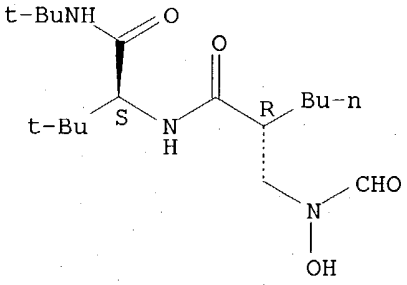
RN 235784-75-5 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235784-76-6 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(1,1-dimethylethyl)-3-methyl- (9CI) (CA INDEX NAME)

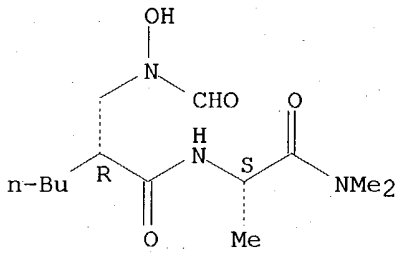
Absolute stereochemistry.



RN 235784-79-9 HCAPLUS
CN L-Alaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-

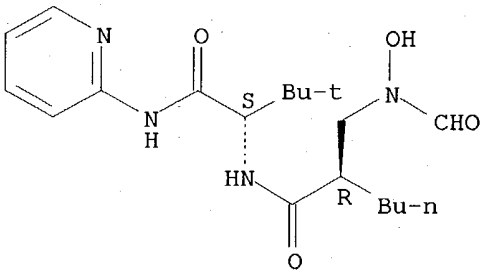
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



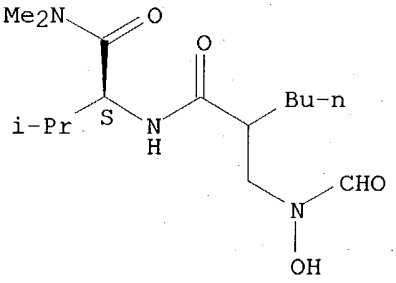
RN 235784-82-4 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



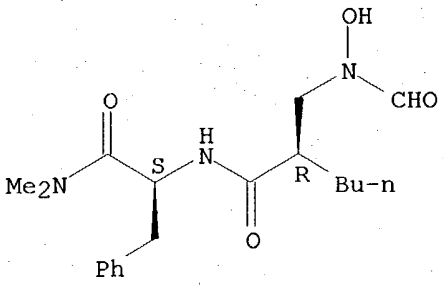
RN 235784-83-5 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



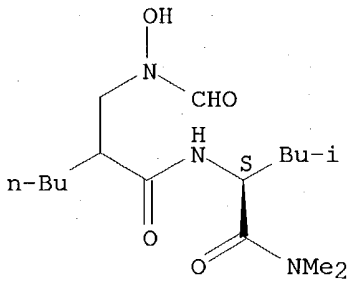
RN 235784-84-6 HCAPLUS
CN L-Phenylalaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



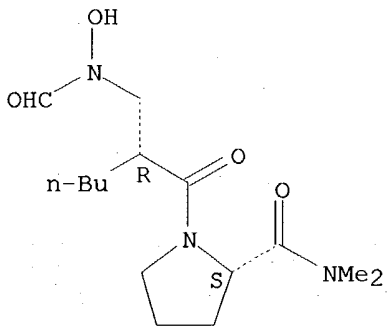
RN 235784-85-7 HCAPLUS
CN L-Leucinamide, 2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



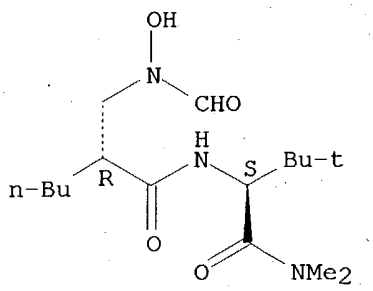
RN 235784-87-9 HCAPLUS
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



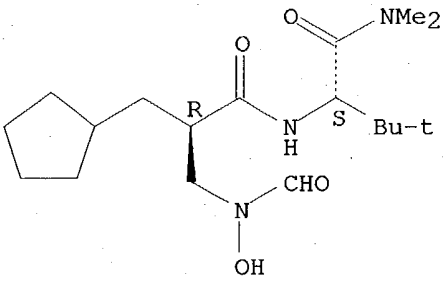
RN 235784-88-0 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235784-89-1 HCAPLUS
CN L-Valinamide, (2R)-2-(cyclopentylmethyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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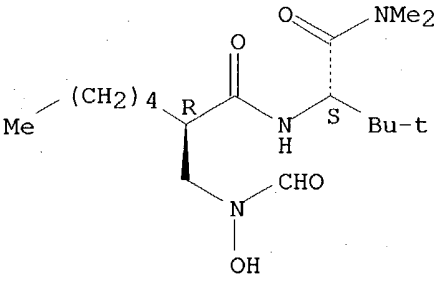
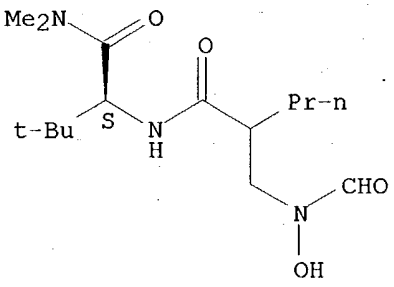
Absolute stereochemistry.



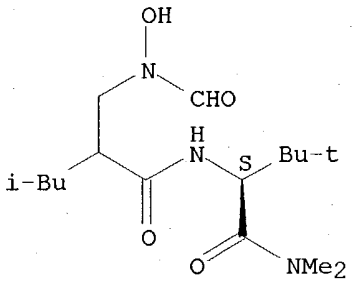
RN 235784-91-5 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-propyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



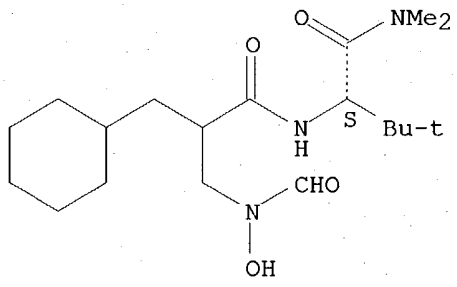
RN 235784-93-7 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-(2-methylpropyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



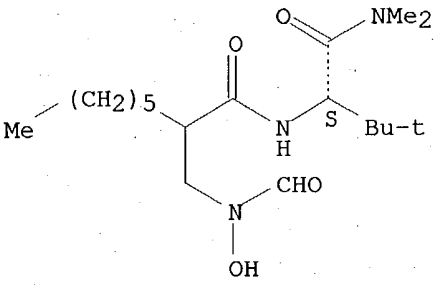
RN 235784-94-8 HCAPLUS
CN L-Valinamide, 2-(cyclohexylmethyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



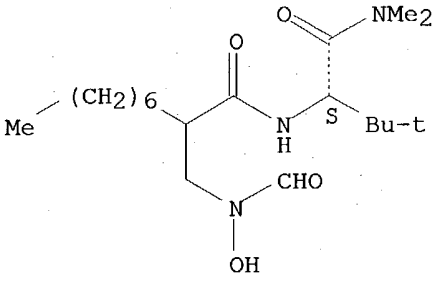
RN 235784-96-0 HCAPLUS
CN L-Valinamide, N-formyl-2-hexyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



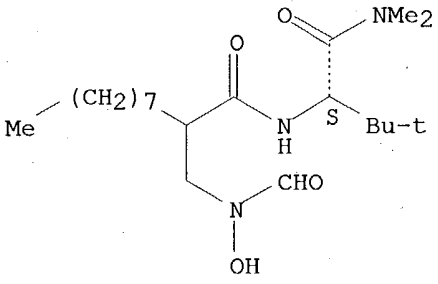
RN 235784-97-1 HCAPLUS
CN L-Valinamide, N-formyl-2-heptyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



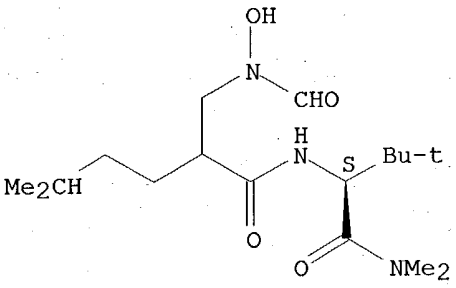
RN 235784-98-2 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-octyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



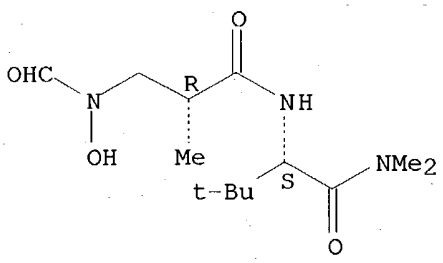
RN 235784-99-3 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-(3-methylbutyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



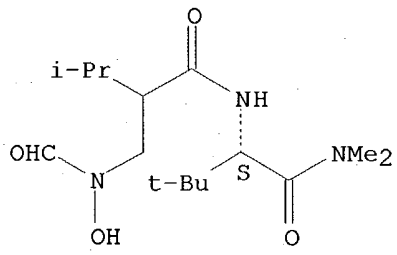
RN 235785-00-9 HCAPLUS
CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-methyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



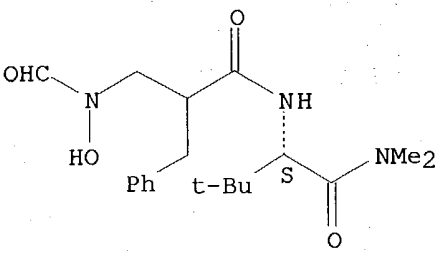
RN 235785-02-1 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-(1-methylethyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



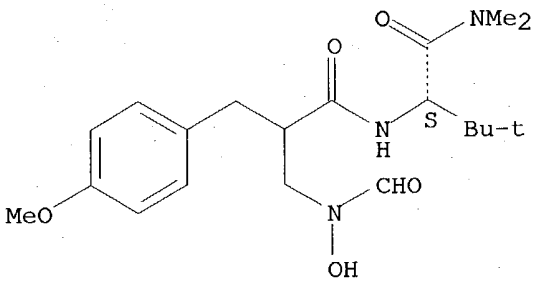
RN 235785-03-2 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



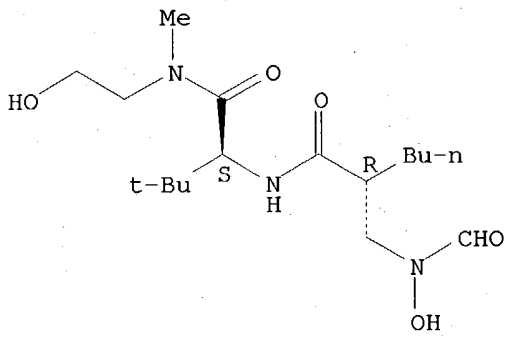
RN 235785-04-3 HCAPLUS
CN L-Valinamide, N-formyl-N-hydroxy-2-[(4-methoxyphenyl)methyl]-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



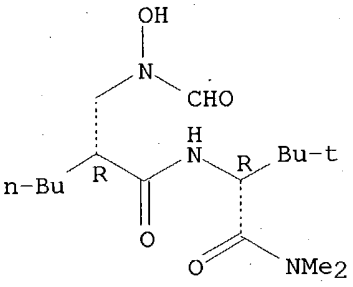
RN 235785-08-7 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(2-hydroxyethyl)-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



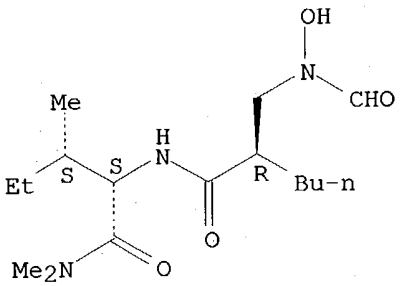
RN 235785-09-8 HCAPLUS
CN D-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



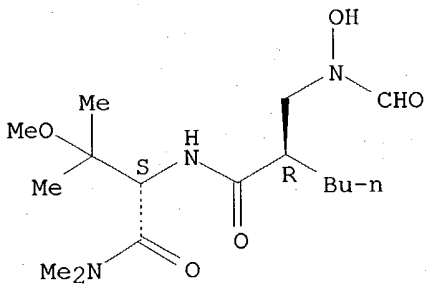
RN 235785-10-1 HCAPLUS
CN L-Isoleucinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



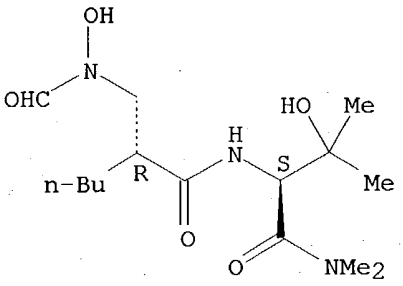
RN 235785-11-2 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



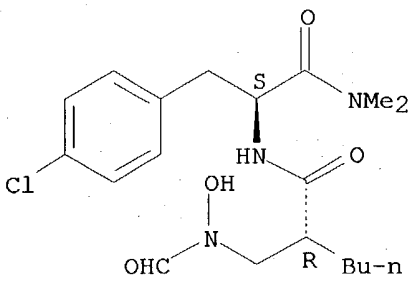
RN 235785-12-3 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-hydroxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



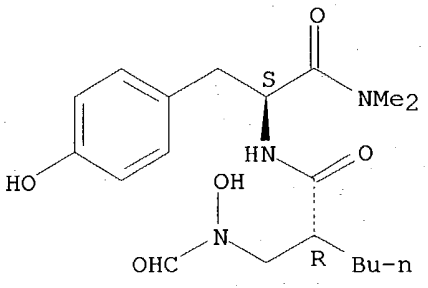
RN 235785-14-5 HCAPLUS
CN L-Phenylalaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



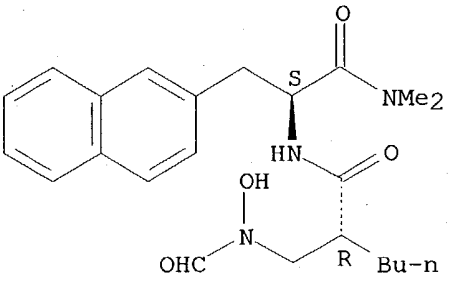
RN 235785-15-6 HCAPLUS
CN L-Tyrosinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



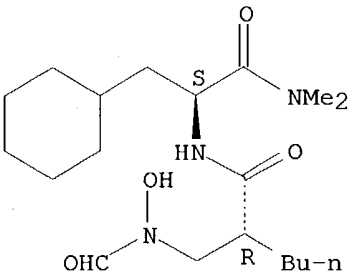
RN 235785-16-7 HCAPLUS
CN L-Alaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



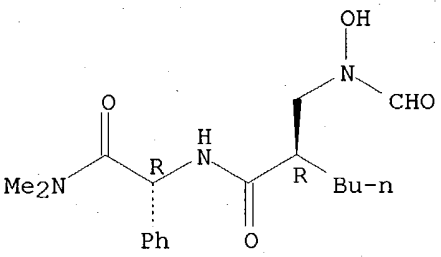
RN 235785-17-8 HCAPLUS
CN L-Alaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-cyclohexyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



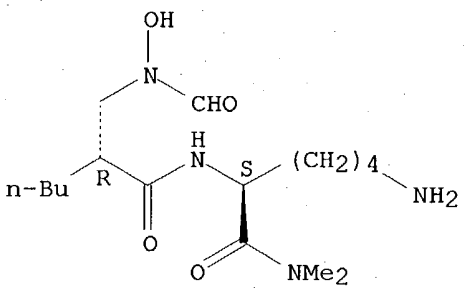
RN 235785-18-9 HCAPLUS
CN Glycinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



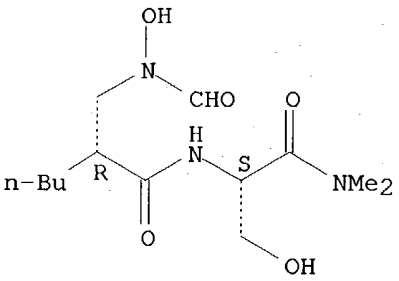
RN 235785-20-3 HCAPLUS
CN L-Lysinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



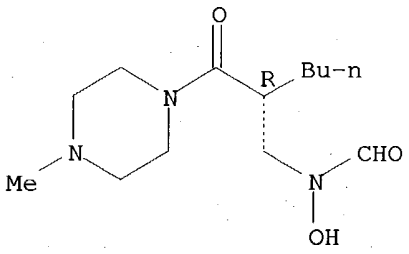
RN 235785-21-4 HCAPLUS
CN L-Serinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



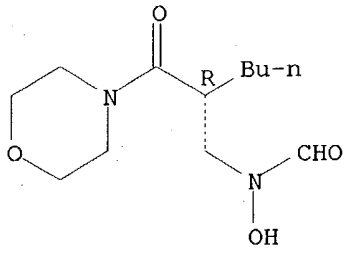
RN 235785-22-5 HCAPLUS
CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



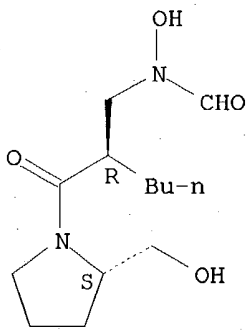
RN 235785-24-7 HCAPLUS
CN Morpholine, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



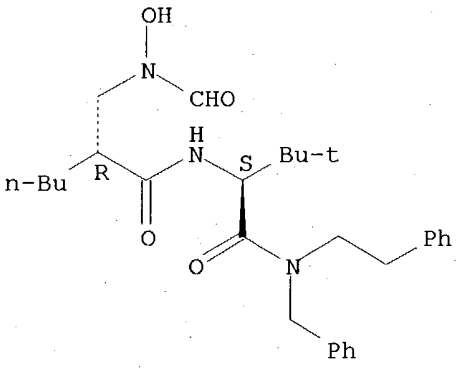
RN 235785-25-8 HCAPLUS
CN 2-Pyrrolidinemethanol, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



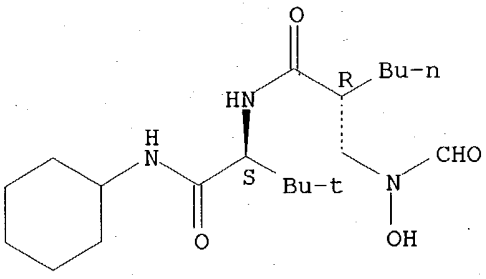
RN 235785-29-2 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-(2-phenylethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



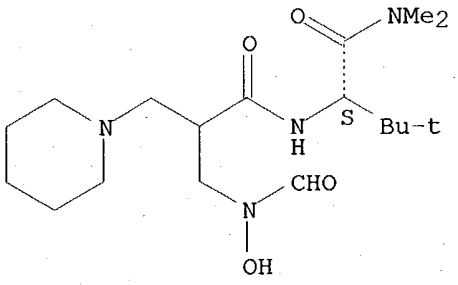
RN 235785-35-0 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-cyclohexyl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



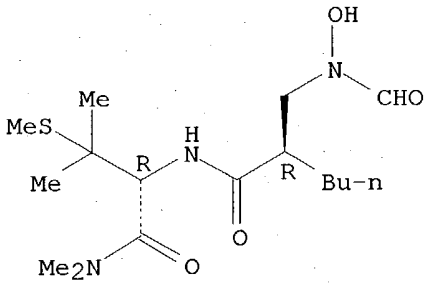
RN 235785-46-3 HCAPLUS
CN 1-Piperidinepropanamide, N-[(1S)-1-[(dimethylamino)carbonyl]-2,2-dimethylpropyl]-.alpha.-[(formylhydroxyamino)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



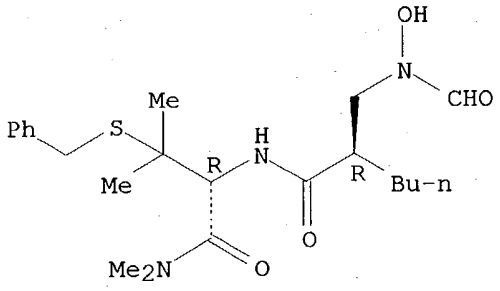
RN 235785-48-5 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-3-(methylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



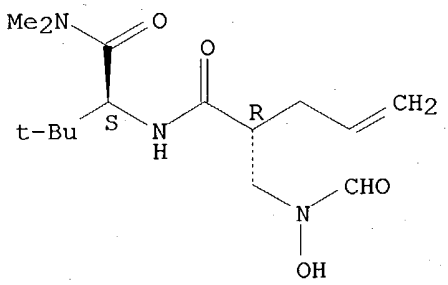
RN 235785-50-9 HCAPLUS
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-3-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



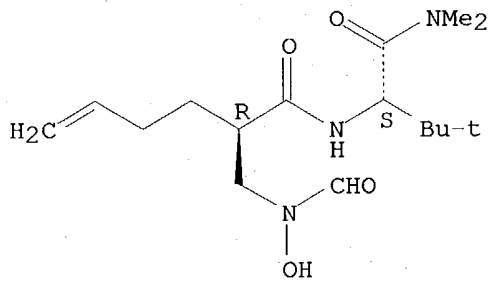
RN 235785-56-5 HCAPLUS
CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-(2-propenyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



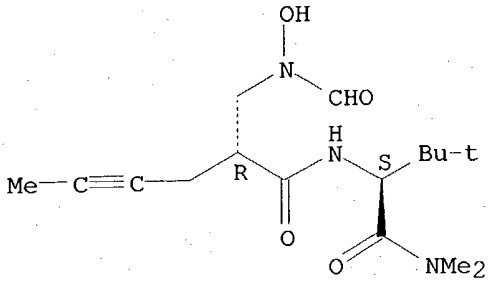
RN 235785-58-7 HCAPLUS
CN L-Valinamide, (2R)-2-(3-butenyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

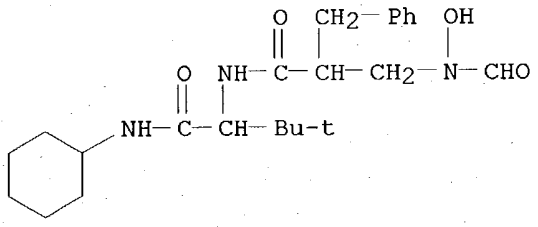


RN 235785-60-1 HCAPLUS
CN L-Valinamide, (2R)-2-(2-butynyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

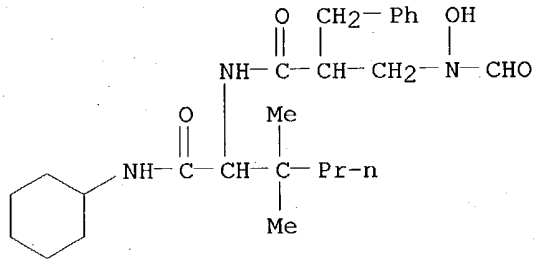
Absolute stereochemistry.



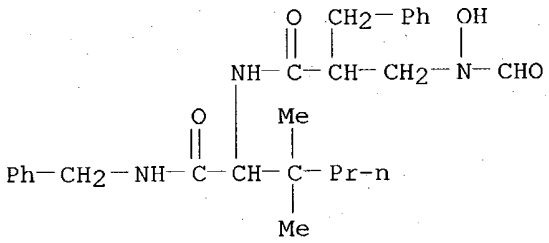
RN 235785-64-5 HCAPLUS
CN Valinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-cyclohexyl-3-methyl- (9CI) (CA INDEX NAME)



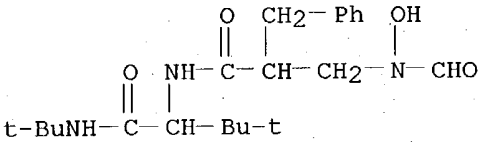
RN 235785-66-7 HCAPLUS
CN Norleucinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-cyclohexyl-3,3-dimethyl- (9CI) (CA INDEX NAME)



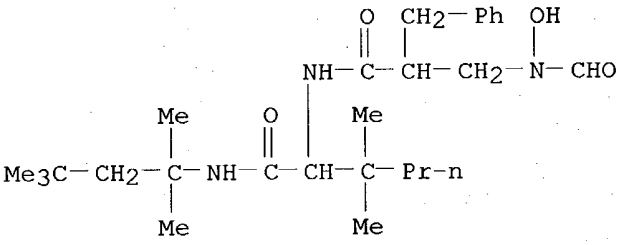
RN 235785-67-8 HCAPLUS
CN Norleucinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-3,3-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



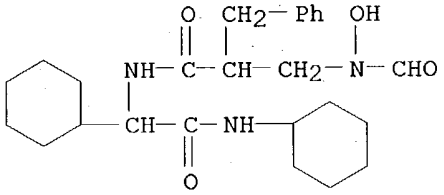
RN 235785-68-9 HCAPLUS
CN Valinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-(1,1-dimethylethyl)-3-methyl- (9CI) (CA INDEX NAME)



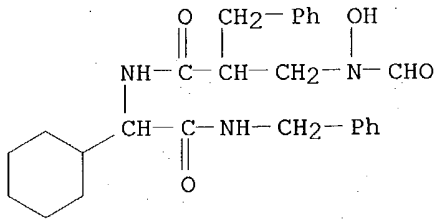
RN 235785-69-0 HCAPLUS
CN Norleucinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-3,3-dimethyl-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



RN 235785-70-3 HCAPLUS
CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N,2-dicyclohexyl- (9CI) (CA INDEX NAME)

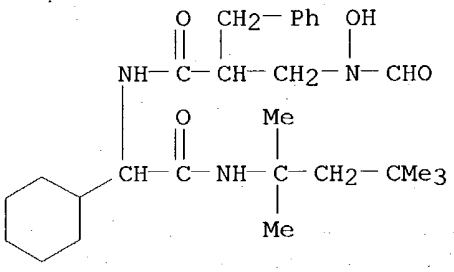


RN 235785-72-5 HCAPLUS
CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-2-cyclohexyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



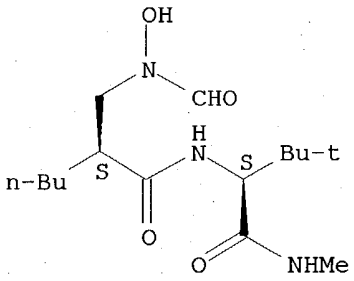
RN 235785-73-6 HCAPLUS

CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-2-cyclohexyl-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



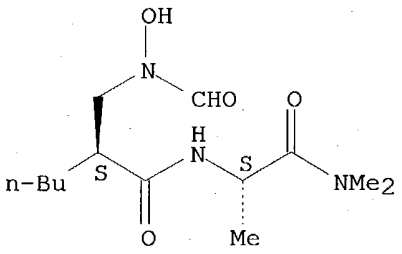
RN 235785-74-7 HCAPLUS
CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



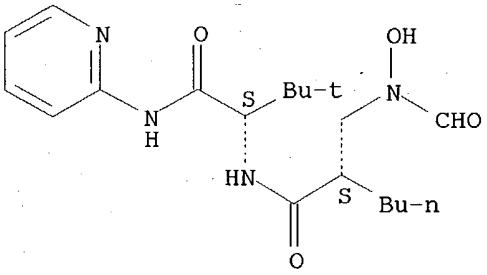
RN 235785-76-9 HCAPLUS
CN L-Alaninamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



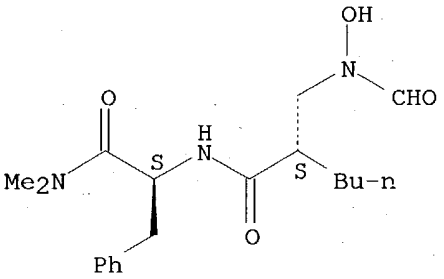
RN 235785-79-2 HCAPLUS
CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



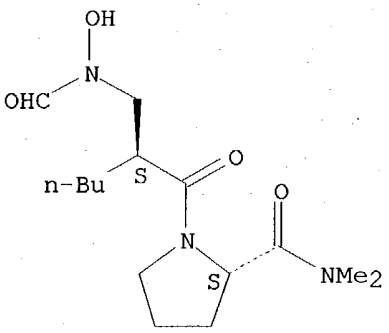
RN 235785-80-5 HCAPLUS
CN L-Phenylalaninamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



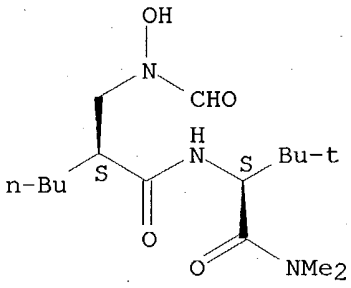
RN 235785-82-7 HCAPLUS
CN L-Prolinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



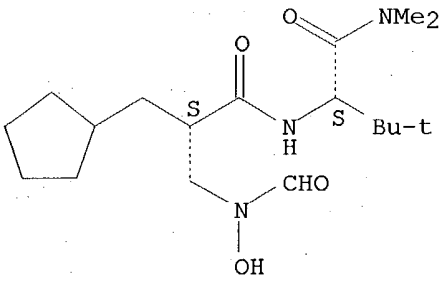
RN 235785-83-8 HCAPLUS
CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



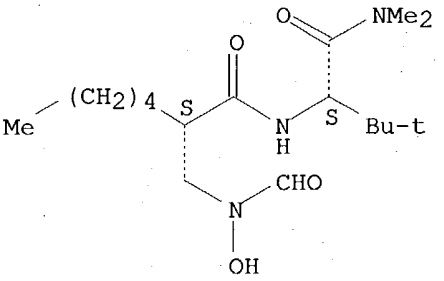
RN 235785-84-9 HCAPLUS
CN L-Valinamide, (2S)-2-(cyclopentylmethyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



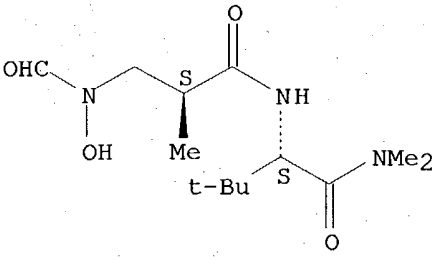
RN 235785-85-0 HCAPLUS
CN L-Valinamide, (2S)-N-formyl-N-hydroxy-2-pentyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



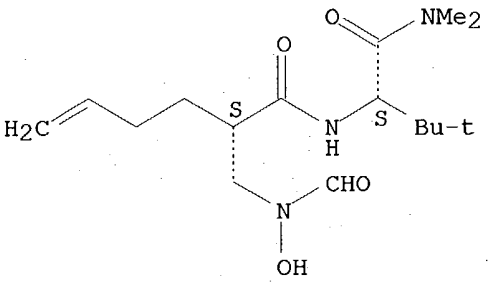
RN 235785-86-1 HCAPLUS
CN L-Valinamide, (2S)-N-formyl-N-hydroxy-2-methyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



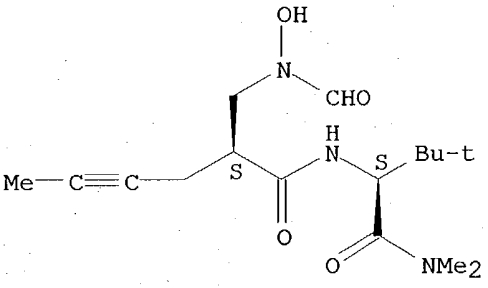
RN 235785-88-3 HCAPLUS
CN L-Valinamide, (2S)-2-(3-butenyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235785-89-4 HCAPLUS
CN L-Valinamide, (2S)-2-(2-butynyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:476742 HCAPLUS
DOCUMENT NUMBER: 125:143320
TITLE: Prepn. of peptides as matrix metalloprotease inhibitors
INVENTOR(S): Castelhano, Arlindo L.; Bender, Steven L.; Deal, Judith G.; Horne, Stephen; Liak, Teng J.; Yuan,

Zhengyu
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA; Agouron Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616027	A1	19960530	WO 1995-US15530	19951121
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6037472	A	20000314	US 1994-343158	19941122
AU 9642897	A1	19960617	AU 1996-42897	19951121
AU 705439	B2	19990520		
EP 793643	A1	19970910	EP 1995-941493	19951121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509802	A	19970930	BR 1995-9802	19951121
JP 10509719	T2	19980922	JP 1996-517109	19951121
RU 2163232	C2	20010220	RU 1997-110062	19951121
PL 182639	B1	20020228	PL 1995-321024	19951121
FI 9702160	A	19970522	FI 1997-2160	19970521
NO 9702307	A	19970722	NO 1997-2307	19970521

PRIORITY APPLN. INFO.: US 1994-343158 A 19941122
US 1993-147811 B2 19931104
WO 1995-US15530 W 19951121

OTHER SOURCE(S): MARPAT 125:143320

AB Peptides R1CH2CH(XR2)CONHCHR3CONH(CH2)pR7 [R1 = SH, AcS, CO2H, etc.; R2 = alkyl, cycloalkyl, aryl, heterocycloalkyl, heteroaryl; R3 = alkyl, cycloalkyl, aralkyl, heteroaralkyl; R7 = aryl, heteroaryl, heterocycloalkyl; p = 0-4; X = (CH2)mY(CH2)n, where Y = O, S, or single bond, m, n = 0-4 (m + n = 0-4)] and their pharmaceutically acceptable salts were prepd. The peptides inhibit matrix metalloproteases such as stromelysin, gelatinase, matrilysin and collagenase and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases. Thus, N-[2R-[(tert-butoxycarbonyl)methyl]-5-(4-biphenylyl)pentanoyl]-D(or L)-.beta.-hydroxyvaline-N'-phenylcarboxamide was prepd. via coupling of DL-.beta.-hydroxyvaline-N'-phenylcarboxamide with the substituted pentanoic acid, with sepn. of the diastereomers by radial chromatog.

IC ICM C07C259-06
ICS C07C237-22; C07F009-60; C07C327-30; C07C323-60; C07D263-26; A61K031-16; A61K031-66

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63

IT 1709-52-0P 25044-10-4P 112106-16-8P 112245-04-2P 156109-64-7P 168681-96-7P 169322-14-9P 169322-17-2P 169322-24-1P 169322-26-3P 169322-27-4P 169322-28-5P 169322-30-9P 169322-31-0P 169322-32-1P 169322-33-2P 169322-34-3P 169322-35-4P 169322-36-5P 179533-47-2P 179533-48-3P 179533-49-4P **179533-50-7P** 179533-51-8P

179533-52-9P 179533-53-0P 179533-54-1P 179533-55-2P 179533-56-3P
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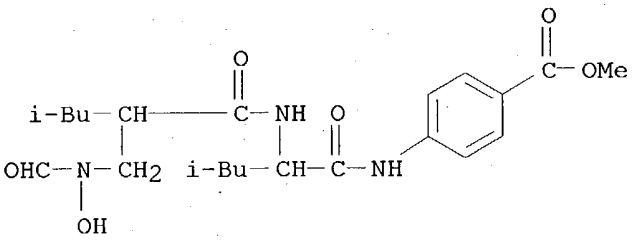
179533-62-1P 179533-63-2P 179533-64-3P 179533-65-4P 179533-66-5P
179533-74-5P 179533-78-9P 179533-79-0P 179533-80-3P 179533-81-4P
179533-82-5P 179533-83-6P 179533-84-7P 179533-85-8P 179533-86-9P
179533-87-0P 179533-88-1P 179533-89-2P 179533-90-5P 179533-92-7P
179534-15-7P 179797-41-2P 179797-42-3P 179797-43-4P
179797-44-5P 179797-46-7P 179797-47-8P 179797-48-9P 179797-49-0P
179797-50-3P 179797-51-4P 179797-52-5P 179797-53-6P 179797-54-7P
179797-55-8P 179797-56-9P 179797-58-1P 179797-59-2P 179797-61-6P
179797-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as matrix metalloprotease inhibitors)

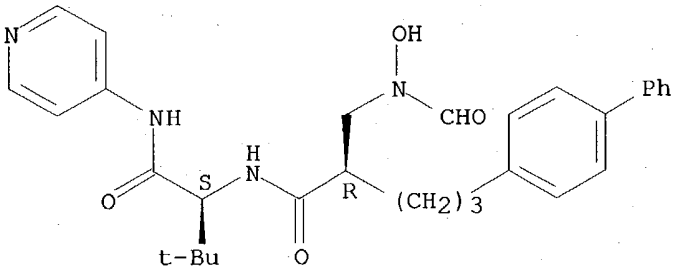
IT **179533-50-7P 179534-15-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as matrix metalloprotease inhibitors)

RN 179533-50-7 HCAPLUS
CN Benzoic acid, 4-[[2-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methyl-1-oxopentyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 179534-15-7 HCAPLUS
CN L-Valinamide, (2R)-2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



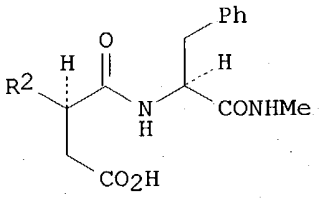
L8 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:175615 HCAPLUS
DOCUMENT NUMBER: 124:233142
TITLE: Preparation of N-(2-carboxymethyalkanoyl) amino acid amides and analogs as metalloprotease inhibitors
INVENTOR(S): Miller, Andrew; Beckett, Paul Raymond; Martin, Fionna Mitchell; Whittaker, Mark

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Ltd., UK
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532944	A1	19951207	WO 1995-GB1226	19950526
W: AU, BR, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9525722	A1	19951221	AU 1995-25722	19950526
EP 763012	A1	19970319	EP 1995-920160	19950526
EP 763012	B1	19990609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 10500986	T2	19980127	JP 1995-500504	19950526
AT 181055	E	19990615	AT 1995-920160	19950526
US 6028110	A	20000222	US 1996-737981	19961122

PRIORITY APPLN. INFO.: GB 1994-10802 19940528
GB 1995-3754 19950224
WO 1995-GB1226 19950526

OTHER SOURCE(S): MARPAT 124:233142
GI



I

AB R1CHRCHR2CONHCHR3CONR4R5 [R = CO2H, N(OH)CHO, CONHOH; R1 = H, alkyl, Ph, heterocyclyl, etc.; R2 = (heteroatom interrupted) C13-24 hydrocarbon chain; R3 = amino acid side chain; R4 = H, (un)substituted alkyl; R5 = H or alkyl] were prepd. Thus, (R)-HO2CCHR2CH2CO2CMe3 (R2 = hexadecyl) was esterified by pentafluorophenol and the product amidated by L-H2NCH(CH2PH)CONHMe to give, after deprotection, title compd. I (R2 = hexadecyl) which had IC50 of 30nM against gelatinase in vitro.

IC ICM C07C237-22
ICS C07C323-60; C07C259-06; A61K031-16

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

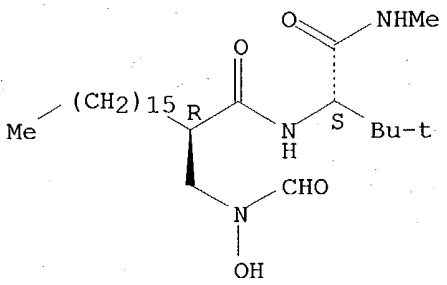
IT 174611-14-4P 174611-15-5P 174611-16-6P 174611-17-7P 174611-19-9P
174611-21-3P 174611-22-4P 174611-23-5P 174611-24-6P 174611-25-7P
174611-26-8P 174611-27-9P 174611-28-0P 174611-29-1P 174611-30-4P
174611-31-5P 174611-32-6P 174611-33-7P 174611-34-8P 174611-35-9P
174611-36-0P 174611-37-1P 174611-38-2P 174691-79-3P
174691-80-6P 174691-82-8P 174691-83-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(2-carboxymethyalkanoyl) amino acid amides and analog

metalloprotease inhibitors)

IT **174611-36-0P 174691-80-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(2-carboxymethyalkanoyl) amino acid amides and analogs as metalloprotease inhibitors)

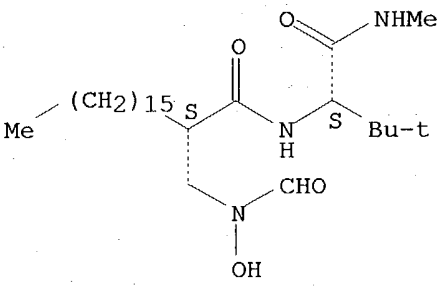
RN 174611-36-0 HCAPLUS
CN Octadecanamide, N-[2,2-dimethyl-1-[(methylamino)carbonyl]propyl]-2-[(formylhydroxyamino)methyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174691-80-6 HCAPLUS
CN Octadecanamide, N-[2,2-dimethyl-1-[(methylamino)carbonyl]propyl]-2-[(formylhydroxyamino)methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:19442 HCAPLUS
DOCUMENT NUMBER: 122:230797
TITLE: Inhibition of tumor necrosis factor (TNF) production
INVENTOR(S): Crimmin, Michael John; Galloway, William Alan; Gearing, Andrew John Hubert
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410990	A1	19940526	WO 1993-GB2331	19931112
W: AU, CA, DE, ES, FI, GB, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9454301	A1	19940608	AU 1994-54301	19931112
EP 667770	A1	19950823	EP 1993-924754	19931112
EP 667770	B1	19970319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08505605	T2	19960618	JP 1993-511862	19931112
AT 150300	E	19970415	AT 1993-924754	19931112
ES 2101358	T3	19970701	ES 1993-924754	19931112
US 5691382	A	19971125	US 1995-436190	19950512
PRIORITY APPLN. INFO.:			GB 1992-23904	19921113
			WO 1993-GB2331	19931112

AB Certain hydroxamic acid derivs., previously known as inhibitors of matrix metalloproteinases (e.g. collagenase) are capable of inhibiting the prodn. of TNF by cells, and thus are useful in the management of diseases or conditions mediated by overprodn. of, or over-responsiveness to, TNF. The compds. in question are known in the art from the following patent publications: US 4599361, EP-A-0236872, EP-A-0274453, WO 90/05716, WO 90/05719,WO 91/02716, EP-A-0489577, EP-A-0489579, EP-A-0497192, WO 92/13831, WO 92/22523,WO 93/09090, and WO 93/09097. They have general formula CH(R1)(CONHOH)CH(R2)C(O)NHCH(R3)C(O)N(R4)(R5) or CH(R1)[N(OH)(CO)H]CH(R2)C(O)NHCH(R3)C(O)N(R4)(R5), in which substituents R1-R5 may vary widely according to the disclosures of those patent publications. Prevention of e.g. TNF release from phorbol myristate acetate-stimulated human monocytic cell line U937 by compds. of the invention is described.

IC ICM A61K031-16

CC 1-12 (Pharmacology)

IT 104408-38-0 104485-71-4 104485-72-5 108383-58-0 112105-57-4
130128-24-4 130128-25-5 130128-37-9 130128-40-4 130128-54-0
130194-41-1 130195-95-8 130370-59-1 130370-60-4 130370-64-8
130370-65-9 130370-66-0 130370-67-1 130370-71-7 130370-72-8
130370-73-9 130370-74-0 130370-76-2 130370-78-4 132159-80-9
135775-01-8 135775-04-1 144287-57-0 144287-59-2 144287-60-5
144287-61-6 144287-68-3 144287-69-4 144287-80-9 144287-81-0
145337-55-9 153491-08-8 153491-09-9 153491-10-2 153491-11-3
153491-12-4 153491-14-6 153491-15-7 153491-16-8 153491-17-9
153491-18-0 153491-21-5 153547-33-2 155832-36-3 155832-40-9
155832-43-2 155865-40-0 157518-67-7 157725-86-5 157725-87-6
157725-88-7 157725-89-8 157725-90-1 157725-91-2 157725-92-3
157725-93-4 157725-94-5 157725-95-6 157725-96-7 157725-97-8
157725-98-9 157725-99-0 157726-00-6 157726-01-7 157726-02-8
157726-03-9 157726-04-0 157726-05-1 157726-06-2 157726-07-3
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157726-18-6 157726-19-7 **157726-20-0** 157726-21-1
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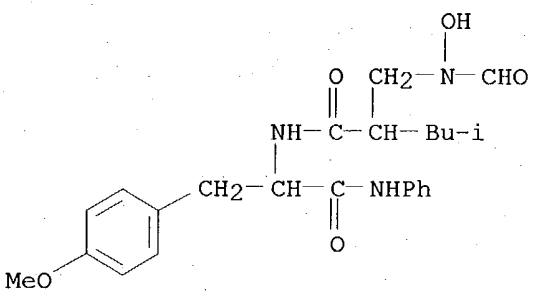
157726-32-4 157809-68-2 157809-69-3 157809-70-6 157809-71-7
157809-72-8 157809-73-9 157809-74-0 157809-75-1 157809-76-2
157870-74-1
RL: BIOL (Biological study)
(TNF prodn. inhibition by)

IT **157726-20-0**
RL: BIOL (Biological study)

(TNF prodn. inhibition by)
RN 157726-20-0 HCAPLUS
CN Benzenepropanamide, .alpha.-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methoxy-N-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:426909 HCAPLUS
DOCUMENT NUMBER: 121:26909
TITLE: Vasoactive peptide inhibition
INVENTOR(S): Crimmin, Michael John; Bone, Elisabeth Ann; Wood, Lars Michael
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407527	A2	19940414	WO 1993-GB2044	19931001
WO 9407527	A3	19940721		
W: AU, CA, FI, GB, JP, KR, NO, NZ, PT, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9348316	A1	19940426	AU 1993-48316	19931001

PRIORITY APPLN. INFO.: GB 1992-20845 A 19921003
WO 1993-GB2044 W 19931001

AB Certain known hydroxamic acid derivs. and their salts are useful as inhibitors of the conversion of big endothelin (I) to endothelin by a putative endothelin converting enzyme, and are useful in the management of diseases mediated by overprodn. of, over-responsiveness to, endothelin in mammals, e.g. hypertension. Thus, i.v. administration of 1mg [4-(N-hydroxyamino)-2R-isobutylsuccinyl]-L-phenylalanine/kg in rats 5 min before i.v. administration of I inhibited its activity by 62%.
IC ICM A61K037-64
CC 1-8 (Pharmacology)
IT 92175-57-0 104408-38-0 104485-71-4 108383-57-9 108383-58-0
130128-25-5 132159-77-4 144287-54-7 144287-57-0 144287-58-1
144287-61-6 144287-68-3 144287-74-1 144287-79-6 144287-80-9
144287-81-0 155832-36-3 **155832-37-4** 155832-38-5
155832-39-6 155832-40-9 155832-41-0 155832-42-1 155832-43-2
155832-44-3 155832-45-4 155832-46-5 155865-40-0
RL: BIOL (Biological study)

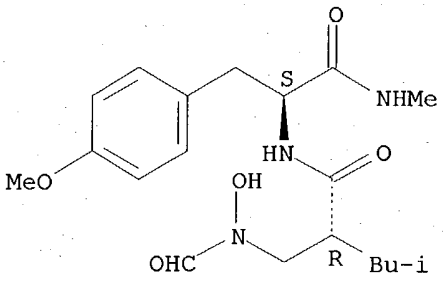
(as inhibitor of big endothelin conversion to endothelin)

IT **155832-37-4**
RL: BIOL (Biological study)
(as inhibitor of big endothelin conversion to endothelin)

RN 155832-37-4 HCAPLUS

CN Benzenepropanamide, .alpha.-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methoxy-N-methyl-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:167973 HCAPLUS
DOCUMENT NUMBER: 108:167973
TITLE: Preparation of (hydroxylamino)acylpeptides as inhibitors of synovial collagenase
INVENTOR(S): Handa, Balraj Krishnan; Johnson, William Henry; Machin, Peter James
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 236872	A2	19870916	EP 1987-102771	19870226
EP 236872	A3	19890913		
EP 236872	B1	19921125		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8700774	A	19870912	DK 1987-774	19870216
AT 82753	E	19921215	AT 1987-102771	19870226
CA 1314655	A1	19930316	CA 1987-530988	19870303
ZA 8701563	A	19871028	ZA 1987-1563	19870304
IL 81790	A1	19910310	IL 1987-81790	19870305
AU 8769902	A1	19870917	AU 1987-69902	19870311
AU 588437	B2	19890914		
JP 62230757	A2	19871009	JP 1987-56412	19870311
JP 06029228	B4	19940420		
US 4996358	A	19910226	US 1989-336264	19890411

PRIORITY APPLN. INFO.:
GB 1986-5977 19860311
GB 1986-29712 19861212
US 1987-14957 19870217
EP 1987-102771 19870226

AB ACHR3CHR1CONHCHR2CONR6CHR4R5 [I; A = HN(OH)CO, HCON(OH); R1 = alkyl; R2 = side chain of naturally-occurring amino acid, not H, Me; R2R4 = (CH2)n; R3 = H, amino, OH, SH, alkyl, alkoxy, alkylthio, arylalkyl, etc.; R4, R6 = H, Me; R5 = H, R4R5 = (CH2)3; alkyl, alkoxyalkyl, dialkoxymethylene, carboxy, acyl, carbamoyl; n = 4-11] and pharm. acceptable salts were prepd. for treatment of degenerative joint disease. [2(R)-Isobutylsuccinyl]-L-leucyl-L-alanine Et ester (prepn. given) in THF at -15.degree. was treated with iso-Bu chloroformate and N-ethylmorpholine followed by O-benzylhydroxylamine. The resulting benzyloxyamino deriv. was hydrogenoylzed in EtOH over 5% Pd/C to give 4-N-hydroxylaminol-2(R)-isobutylsuccinyl]-L-leucyl-L-alanine Et ester. The latter inhibited human synovial collagenase with an IC50 of 8.5 .times. 10-9 M.

IC ICM C07K005-06
ICS C07C103-50; A61K037-02; C07C103-76; A61K031-16

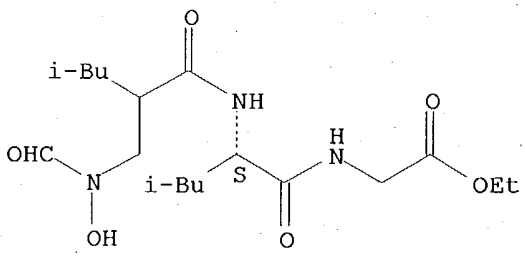
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

IT 112105-53-0P 112105-54-1P **112105-55-2P** 112105-56-3P
112105-57-4P 112105-58-5P 112105-59-6P 112105-60-9P 112105-61-0P
112105-62-1P 112105-63-2P 112105-64-3P 112105-65-4P 112105-66-5P
112105-67-6P 112105-68-7P 112105-69-8P 112105-70-1P 112105-71-2P
112105-72-3P 112105-73-4P 112105-74-5P 112105-75-6P 112105-76-7P
112105-77-8P 112105-78-9P 112105-79-0P 112105-80-3P 112105-81-4P
112105-82-5P **112105-83-6P** **112105-84-7P** 112135-79-2P
112245-01-9P 112245-02-0P 112245-03-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as collagenase inhibitor)

IT **112105-55-2P** **112105-83-6P** **112105-84-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as collagenase inhibitor)

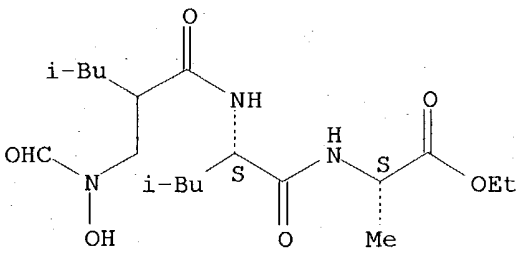
RN 112105-55-2 HCAPLUS
CN Glycine, N-[N-[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

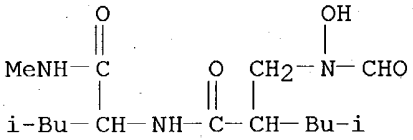


RN 112105-83-6 HCAPLUS
CN L-Alanine, N-[N-[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112105-84-7 HCAPLUS
CN Pentanamide, 2-[(formylhydroxyamino)methyl]-4-methyl-N-[3-methyl-1-[(methylamino)carbonyl]butyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:488204 HCAPLUS
DOCUMENT NUMBER: 103:88204
TITLE: New bidentates as full inhibitors of enkephalin-degrading enzymes: synthesis and analgesic properties
AUTHOR(S): Fournie-Zaluski, Marie Claude; Coulaud, Annie; Bouboutou, Romaine; Chaillet, Pierre; Devin, Jocelyne; Waksman, Gilles; Costentin, Jean; Roques, Bernard P.
CORPORATE SOURCE: Dep. Chim. Org., U.E.R. Sci. Pharm. Biol., Paris, 75006, Fr.
SOURCE: Journal of Medicinal Chemistry (1985), 28(9), 1158-69
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:88204
AB Bidentate ligands (R,S)-HONHCOCH(CH2Ph)CONHCH2COR (R = OH, OMe, NHCH2CH2CHMe2, NHCH2Ph), (R,S)-HCON(OH)CH(CH2Ph)CONHCH2COR (R = OH, NHCH2CH2CHMe2), (R,S)-HCON(OH)CH2CH(CH2Ph)CONHCH2COR [R = OH (I), OMe, NHCH2CH2CHMe2, NHCH2Ph], and (R,S)-HONHCOCH2CH(CH2Ph)CO-X-R [X = Gly, R = OH (II), NHCH2CH2CHMe2; X = L-Ala, R = OH (III)] were prepd. as inhibitors of in vitro metab. of enkephalins, ensured by three different metallopeptidases. I, II, and III behave as full inhibitors of the 3 enzymes, with IC50 values in the nanomolar range for enkephalinase, from 0.3 .mu.M to 2 nM for dipeptidylaminopeptidase, and in the micromolar range for a biol. relevant aminopeptidase. Two diastereoisomers of the most active inhibitor III were sepd. by HPLC and their stereochem. assigned by 1H NMR spectroscopy. Both isomers were efficient as enkephalinase blockers, but only the RS isomer, designated kelatorphan, was able to strongly inhibit aminopeptidase and dipeptidylaminopeptidase. Intracerebroventricular injection in mice of these mixed inhibitors, esp. kelatorphan, led to naloxone reversible analgesic responses (hot-plate

test) that were slightly better than those produced by a mixt. of thiorphan and bestatin, two potent inhibitors of enkephalinase and aminopeptidase, resp. Kelatorphan was also more efficient in potentiating the analgesia induced by a subanalgesic dose of Met-enkephalin. All these results support a physiol. role in pain transmission for enkephalinase and possibly a synaptic aminopeptidase.

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7

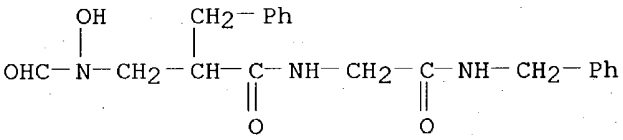
IT 86962-93-8P 87438-04-8P 87438-06-0P 92175-55-8P 92175-56-9P
92175-57-0P 92175-64-9P 96866-03-4P 96866-04-5P **96866-05-6P**
96896-83-2P 96896-84-3P **96896-85-4P** 96896-86-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and enkephalinase-inhibiting activity of)

IT **96866-05-6P 96896-85-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and enkephalinase-inhibiting activity of)

RN 96866-05-6 HCAPLUS

RN 96896-85-4 HCAPLUS

CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:558866 HCAPLUS
DOCUMENT NUMBER: 99:158866
TITLE: Amino acid derivatives and their therapeutic use
INVENTOR(S): Roques, Bernard; Schwart, Jean Charles; Lecomte, Jeanne Marie
PATENT ASSIGNEE(S): Fr.
SOURCE: Eur. Pat. Appl., 105 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 82088	A1	19830622	EP 1982-402314	19821216
EP 82088	B1	19860402		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2518088	A1	19830617	FR 1981-23488	19811216
FR 2518088	B1	19871127		
JP 58150547	A2	19830907	JP 1982-221060	19821216
JP 03046463	B4	19910716		
AT 18902	E	19860415	AT 1982-402314	19821216
US 4618708	A	19861021	US 1985-715764	19850325
US 4738803	A	19880419	US 1986-900814	19860822

PRIORITY APPLN. INFO.: FR 1981-23488 19811216
US 1982-449687 19821214

EP 1982-402314 19821216
US 1985-715764 19850325

OTHER SOURCE(S): CASREACT 99:158866

AB R-X-Y-Z-CHR1COR2 [R = phosphono, sulfo, amino, carbamoyl, alkyl; X = CH(CH2)nR3 (n = 0-2; R3 = H, (un)substituted alkyl, Ph, naphthyl, cyclohexyl, thienyl, etc.), C:CHR3; Y = CO, NH, CH2CO; Z = CO, NR4 (R4 = alkyl, R1R4 = a ring); R1 = H or (un)substituted alkyl or Ph; R2 = OH or (un)substituted alkyl, phenoxy, amino, etc.] were prepd. (101 compds. claimed). Thus, reaction of PhCH2CHBrCO2H with PhCH2ONH2, followed by formylation and coupling with glycine benzyl ester tosylate gave PhCH2ON(CHO)CH(CH2Ph)CO-Gly-OCH2Ph. The products are useful as enkephalinase inhibitors, analgesics, antidepressants, antidiuretics, and hypotensives. Thus, HON(CHO)CH2CH(CH2Ph)CO-Gly-NHCH2C6H4F-p was an effective analgesic, countering the effects of phenylbenzoquinone at 1 mg/kg i.v.

IC C07C103-48; C07C103-50; C07C103-52; C07C103-84; C07C103-76; C07C149-24; C07C147-14; C07D209-20; C07D295-08; C07C145-02; C07C143-53

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 63

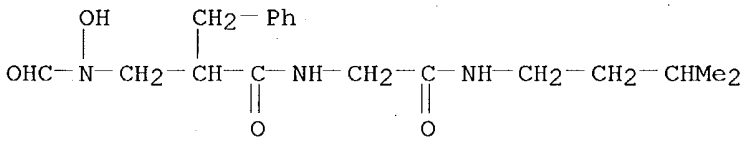
IT 76932-18-8P 87428-94-2P 87429-01-4P 87437-85-2P 87437-87-4P
87437-88-5P 87437-89-6P 87437-90-9P 87437-91-0P 87437-92-1P
87437-93-2P 87437-95-4P 87437-96-5P 87437-97-6P 87437-99-8P
87438-01-5P 87438-04-8P 87438-06-0P 87438-11-7P 87438-13-9P
87438-14-0P 87438-15-1P 87438-18-4P 87438-20-8P
87438-22-0P 87438-27-5P 87438-29-7P 87438-31-1P 87438-36-6P
87438-38-8P 87438-40-2P **87438-42-4P 87438-43-5P**
87438-44-6P 87438-45-7P 87438-47-9P 87438-49-1P 87438-51-5P
87438-53-7P 87438-55-9P 87438-60-6P 87438-63-9P 87438-66-2P
87438-69-5P 87438-72-0P 87438-74-2P 87438-79-7P 87438-88-8P
87454-28-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT **87438-41-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hydrogenolysis, and biol. activities of)

IT **87438-14-0P 87438-42-4P 87438-43-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

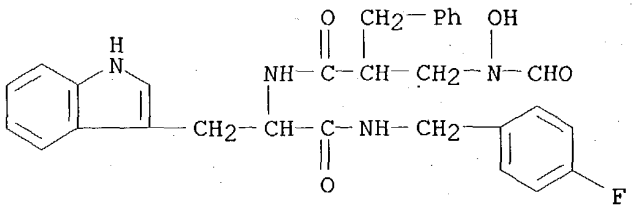
RN 87438-14-0 HCAPLUS

CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)

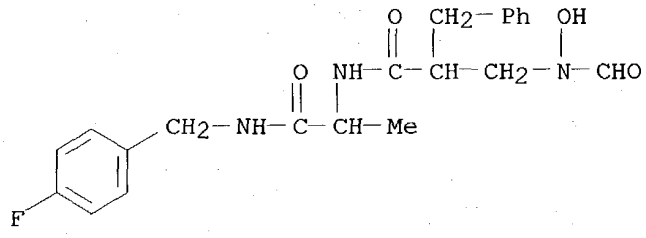


RN 87438-42-4 HCAPLUS

CN L-Tryptophanamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 87438-43-5 HCAPLUS
CN L-Alaninamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



IT **87438-41-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hydrogenolysis, and biol. activities of)
RN 87438-41-3 HCAPLUS
CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)